Case Creation Option

Case "10798692US20070315" already exists. Please overwrite it or cancel the operation.

The Contents of Case *"10798692US20070315"*

Qnum	Query	DB Name	Thesaurus	Operator	Plural
Q1	5142051.pn.	USPT	None	ADJ	YES
Q2	phosphonate nucleotide	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q3	5142051.pn.	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q4	5717097.pn.	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q5	Q3 and Q4	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q6	Q3 and Q2	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q7	prodrug	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q8	(phosphonate nucleotide analog)	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q9	Q7 and Q8	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q10	Q3 and Q9	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q11	Q4 and Q9	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q12	Q4 and Q8	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q13	Q3 and Q8	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q14	Q4 and Q2	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q15	Q4 and Q7	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q16	Q3 and Q7	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q17	Q2 and Q7	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q18	Q3 and Q17	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q19	GB-2288801-A.did.	EPAB	None	ADJ	YES
Q20	GB-2288801-A.did.	EPAB	None	ADJ	YES

Q21	phosphonate	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q22	Q3 and Q21	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q23	Q4 and Q21	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q24	phosphonate and analog	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES
Q25	Q3 and Q24	PGPB,USPT,USOC,EPAB,JPAB,DWPI	None	ADJ	YES

Overwrite Cancel

10798692

INVENTOR SEARCH

=> d ibib abs hitstr l13 1-1

L13 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:90059 HCAPLUS Full-text
DOCUMENT NUMBER: 136:118705
TITLE: Preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis
INVENTOR(S): **Becker, Mark W.; Chapman, Harlan H.; Cihlar, Tomas; Eisenberg, Eugene J.; He, Gong-Xin; Kernan, Michael R.; Lee, William A.; Prisbe, Ernest J.; Rohloff, John C.; Sparacino, Mark L.**
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008241	A2	20020131	WO 2001-US23104	20010720
WO 2002008241	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2416757	A1	20020131	CA 2001-2416757	20010720
AU 200182941	A	20020205	AU 2001-82941	20010720
US 2002119443	A1	20020829	US 2001-909560	20010720
EP 1301519	A2	20030416	EP 2001-961695	20010720
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BR 2001012646	A	20030624	BR 2001-12646	20010720
CN 1443189	A	20030917	CN 2001-813161	20010720
HU 200301307	A2	20030929	HU 2003-1307	20010720
JP 2004504402	T	20040212	JP 2002-514146	20010720
EE 200300029	A	20041015	EE 2003-29	20010720
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US 2004018150	A1	20040129	US 2003-333107		20030114
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US 2006024659	A1	20060202	US 2004-785497		20040224
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			CN 2001-813161	A3	20010720
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			WO 2001-US23104	W	20010720
			US 2003-354207	A1	20030616
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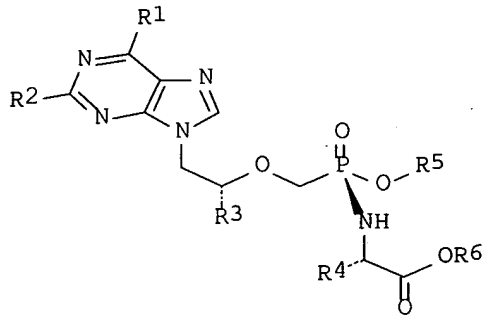
OTHER SOURCE(S): MARPAT 136:118705

GI



I

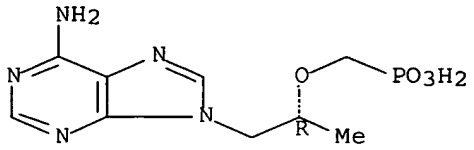
AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogs is to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compds. I, wherein R1 is amino, alkylamino, oxo, dialkylamino; R2 is amino, H; R3 is H, Me; R4 is Me, amino acid residue; R5, R6 are independently H, alkyl, alkenyl, alkynyl, aryl or arylalkyl which is substituted with from 1 to 3 substituents selected from alkylamino, alkylaminoalkyl, dialkylaminoalkyl, dialkylamino, hydroxy, oxo, halo, amino, alkylthio, alkoxy, and their use in therapy and prophylaxis. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compds. for use herein. Thus, fumarate salt of I (R1 = NH2, R2 = H, R3 = R4 = Me, R5 = Ph, R6 = iPr) was prepared and tested in vitro and in dogs as antiviral agent.

IT **147127-20-6P 201341-05-1P 342631-31-6P**
379270-38-9P 382140-25-2P 383365-04-6P
390409-19-5P 390409-27-5P 390409-29-7P
390409-32-2P 390409-34-4P 390409-35-5P
390409-37-7P 390409-39-9P 390409-41-3P
390409-44-6P 390409-46-8P 390409-48-0P
390409-50-4P 390409-51-5P 390409-52-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

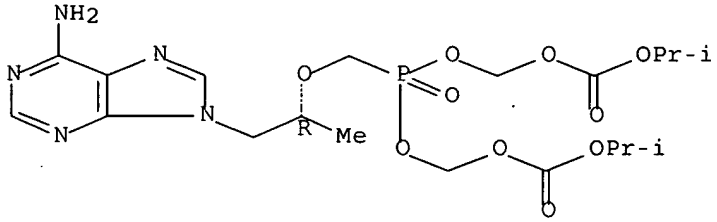
RN 147127-20-6 HCAPLUS
CN Phosphonic acid, P-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



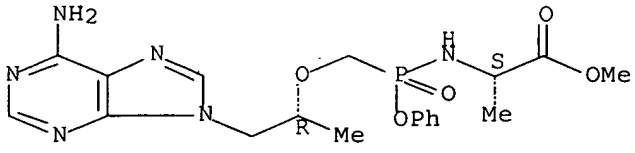
RN 201341-05-1 HCAPLUS
CN 2,4,6,8-Tetraoxa-5-phosphanonanedioic acid, 5-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]-, bis(1-methylethyl) ester, 5-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342631-31-6 HCAPLUS
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

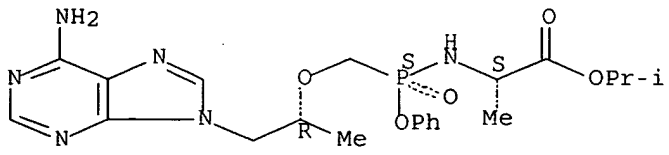


RN 379270-38-9 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

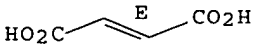
Absolute stereochemistry.



CM 2

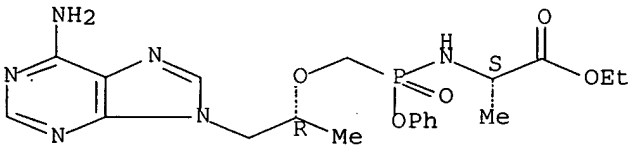
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



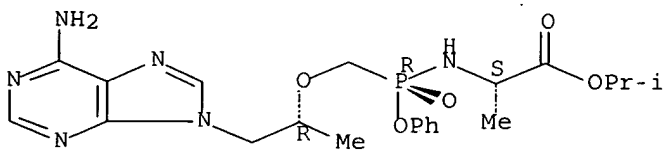
RN 382140-25-2 HCAPLUS
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



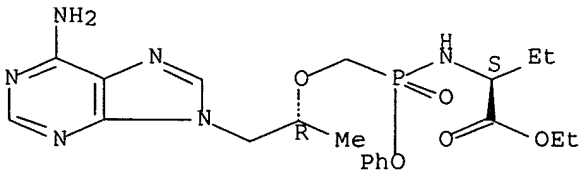
RN 383365-04-6 HCAPLUS
CN L-Alanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



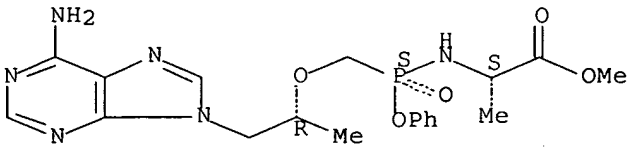
RN 390409-19-5 HCAPLUS
CN Butanoic acid, 2-[[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]amino]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



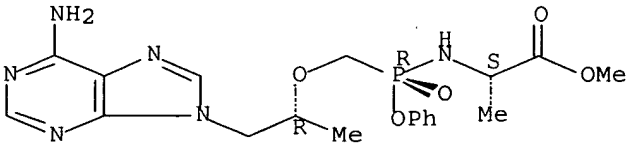
RN 390409-27-5 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



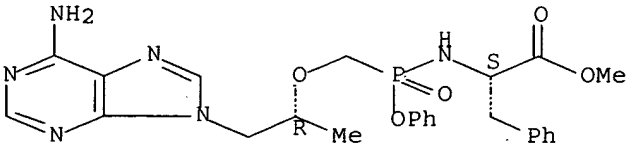
RN 390409-29-7 HCAPLUS
CN L-Alanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 390409-32-2 HCAPLUS
CN L-Phenylalanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

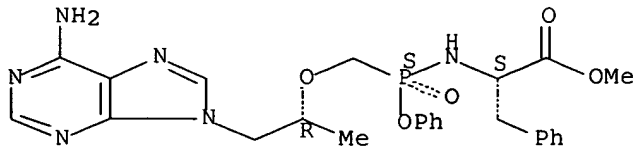
Absolute stereochemistry.



RN 390409-34-4 HCAPLUS
CN L-Phenylalanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-

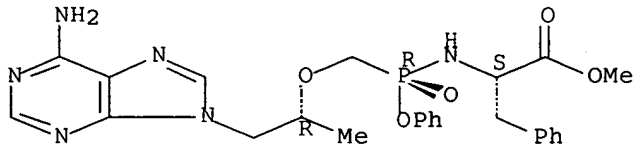
methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



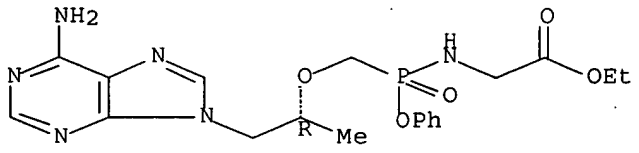
RN 390409-35-5 HCAPLUS
CN L-Phenylalanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



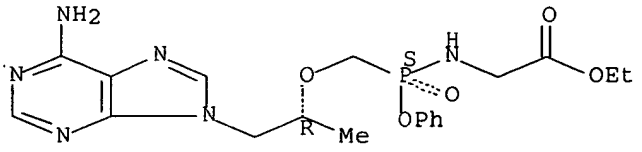
RN 390409-37-7 HCAPLUS
CN Glycine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



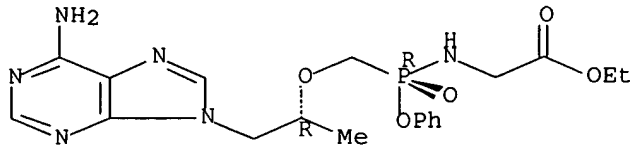
RN 390409-39-9 HCAPLUS
CN Glycine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



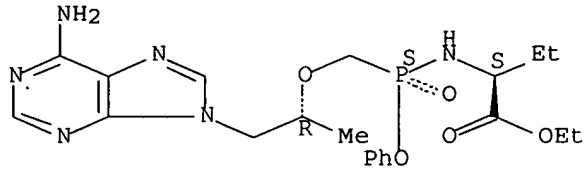
RN 390409-41-3 HCAPLUS
CN Glycine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



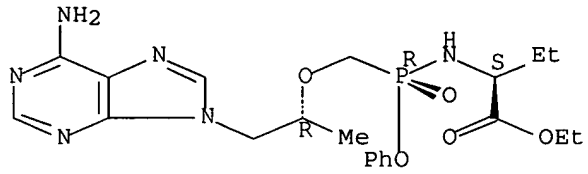
RN 390409-44-6 HCAPLUS
CN Butanoic acid, 2-[[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]amino]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



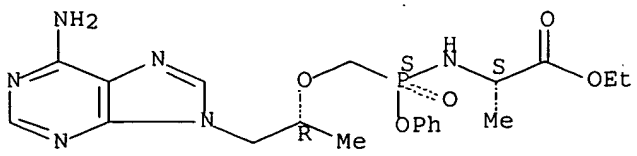
RN 390409-46-8 HCAPLUS
CN Butanoic acid, 2-[[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]amino]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



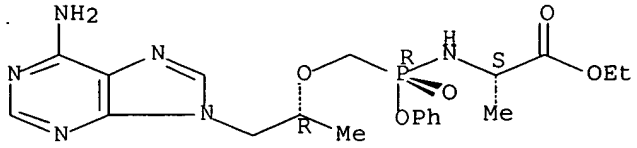
RN 390409-48-0 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



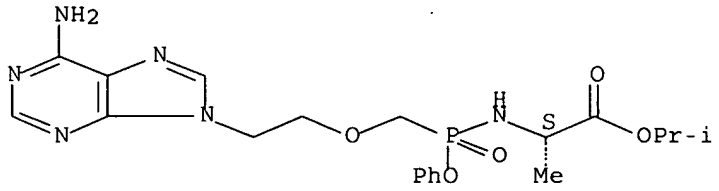
RN 390409-50-4 HCAPLUS
CN L-Alanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



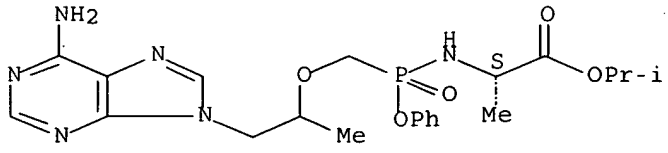
RN 390409-51-5 HCAPLUS
CN L-Alanine, N-[[[2-(6-amino-9H-purin-9-yl)ethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 390409-52-6 HCAPLUS
CN L-Alanine, N-[[[2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

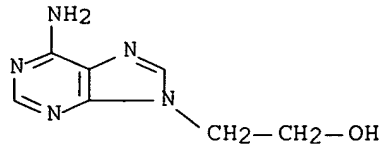


IT **707-99-3P 14047-28-0P 106941-25-7P 379270-35-6P 379270-36-7P 379270-37-8P 390409-17-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide

analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 707-99-3 HCAPLUS

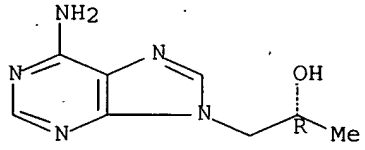
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 14047-28-0 HCAPLUS

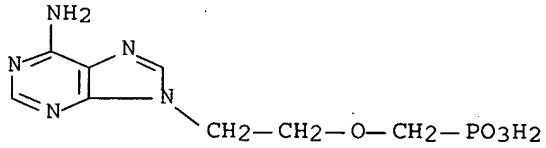
CN 9H-Purine-9-ethanol, 6-amino-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 106941-25-7 HCAPLUS

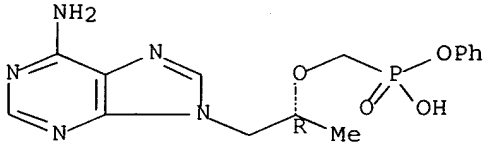
CN Phosphonic acid, P-[[2-(6-amino-9H-purin-9-yl)ethoxy]methyl]- (CA INDEX NAME)



RN 379270-35-6 HCAPLUS

CN Phosphonic acid, [[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]-, monophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

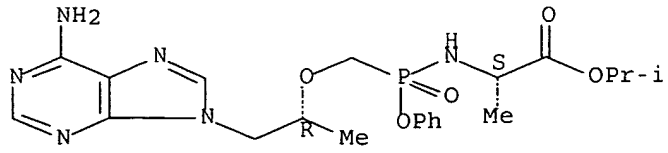


RN 379270-36-7 HCAPLUS

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA

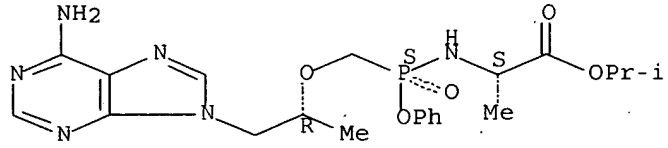
INDEX NAME)

Absolute stereochemistry.



RN 379270-37-8 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

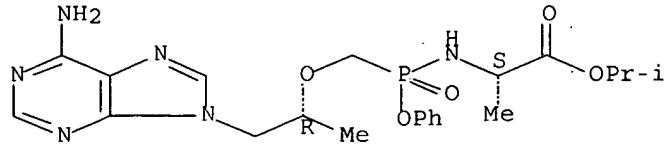


RN 390409-17-3 HCAPLUS
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

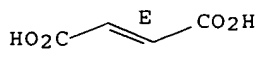
Absolute stereochemistry.



CM 2

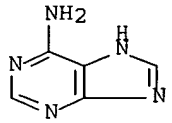
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

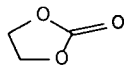


IT 73-24-5, Adenine, reactions 96-49-1, Ethylene carbonate
110-17-8, Fumaric acid, reactions 126-33-0,
Tetramethylene sulfone 538-75-0, 1,3-Dicyclohexylcarbodiimide
872-50-4, 1-Methyl-2-pyrrolidinone, reactions 1115-59-9
1529-17-5, Phenoxytrimethylsilane 2127-03-9,
2-Aldrithiol 15571-48-9, Magnesium isopropoxide
16606-55-6 31618-90-3, Diethyl p-
toluenesulfonyloxymethylphosphonate 32149-57-8
39825-33-7, (L)-Alanine isopropyl ester 40916-98-1
55904-02-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 73-24-5 HCAPLUS
CN 1H-Purin-6-amine (9CI) (CA INDEX NAME)

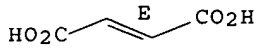


RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (CA INDEX NAME)

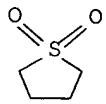


RN 110-17-8 HCAPLUS
CN 2-Butenedioic acid (2E)- (9CI) (CA INDEX NAME)

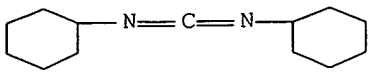
Double bond geometry as shown.



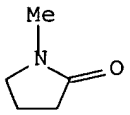
RN 126-33-0 HCAPLUS
CN Thiophene, tetrahydro-, 1,1-dioxide (CA INDEX NAME)



RN 538-75-0 HCAPLUS
CN Cyclohexanamine, N,N'-methanetetraylbis- (9CI) (CA INDEX NAME)

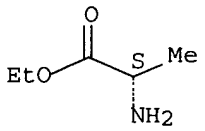


RN 872-50-4 HCAPLUS
CN 2-Pyrrolidinone, 1-methyl- (CA INDEX NAME)

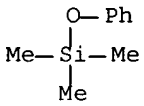


RN 1115-59-9 HCAPLUS
CN L-Alanine, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

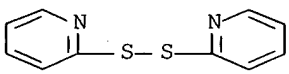
Absolute stereochemistry. Rotation (+).



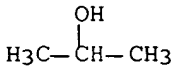
RN 1529-17-5 HCAPLUS
CN Silane, trimethylphenoxy- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 2127-03-9 HCAPLUS
CN Pyridine, 2,2'-dithiobis- (CA INDEX NAME)



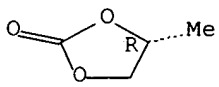
RN 15571-48-9 HCAPLUS
CN 2-Propanol, magnesium salt (9CI) (CA INDEX NAME)



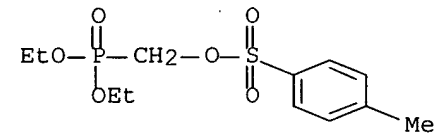
●1/2 Mg

RN 16606-55-6 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl-, (4R)- (9CI) (CA INDEX NAME)

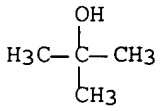
Absolute stereochemistry. Rotation (+).



RN 31618-90-3 HCAPLUS
CN Phosphonic acid, P-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, diethyl ester (CA INDEX NAME)



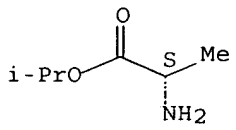
RN 32149-57-8 HCAPLUS
CN 2-Propanol, 2-methyl-, magnesium salt (9CI) (CA INDEX NAME)



●1/2 Mg

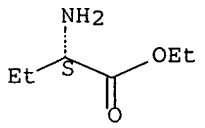
RN 39825-33-7 HCAPLUS
CN L-Alanine, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

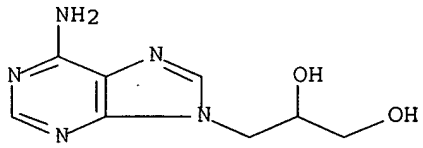


RN 40916-98-1 HCAPLUS
CN Butanoic acid, 2-amino-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 55904-02-4 HCAPLUS
CN 1,2-Propanediol, 3-(6-amino-9H-purin-9-yl)- (9CI) (CA INDEX NAME)



SEARCH IN REGISTRY, CAPLUS, AND USPATFULL

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L18           1 SEA FILE=REGISTRY ABB=ON  379270-36-7/CRN OR 390409-17-3/RN
L19           1 SEA FILE=REGISTRY ABB=ON  L18 AND L17
L20           1 SEA FILE=HCAPLUS ABB=ON  L19
L21           9 SEA FILE=USPATFULL ABB=ON  L19
L22          10 DUP REMOV L20 L21 (0 DUPLICATES REMOVED)
L25             STR
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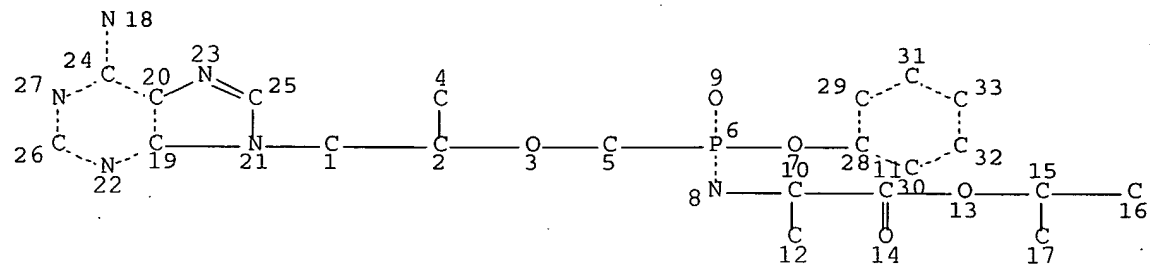

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  33

STEREO ATTRIBUTES: NONE
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L28            3 SEA FILE=REGISTRY ABB=ON  L27 AND 110-17-8/CRN
L29            4 SEA FILE=HCAPLUS ABB=ON  L28
L33            9 SEA FILE=USPATFULL L22
L34           13 SEA FILE=USPATFULL ABB=ON  L33 OR L29
L35           13 DUP REMOV L22 L29 (1 DUPLICATE REMOVED)
L36           13 SEA L34 OR L35


=> d ibib abs hitstr l36 1-13

L36  ANSWER 1 OF 13  USPATFULL on STN
ACCESSION NUMBER:        2006:288142  USPATFULL  Full-text
TITLE:                   Compositions and methods for combination antiviral
                         therapy
INVENTOR(S):             Dahl, Terrence C., 9 HUGO STREET, SAN FRANCISCO, CA,
                         UNITED STATES  94121
                         Menning, Mark M., San Francisco, CA, UNITED STATES
                         Oliyai, Reza, San Carlos, CA, UNITED STATES

                              NUMBER         KIND    DATE
                         ---------------- ------ --------
PATENT INFORMATION:      US 2006246130      A1   20061102
APPLICATION INFO.:       US 2004-540794     A1   20040113  (10)
                         WO 2004-US832           20040113
                                                 20060320  PCT 371 date
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	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404, US	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1-58	
LINE COUNT:	1797	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to therapeutic combinations of [2-(6-amino-purin-9 yl)-1-methyl-ethoxymethyl]-phosphonic acid diisopropoxycarbonyloxymethyl ester (tenofovir disoproxil fumarate, Viread®) and (2R,5S,cis)-4-amino-5-fluoro-1-(2 hydroxymethyl-1,3- oxathiolan-5-yl)-(1H)-pyrimidin-2-one (emtricitabine, Emtriva.TM., (-)-cis FTC) and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of tenofovir disoproxil fumarate and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

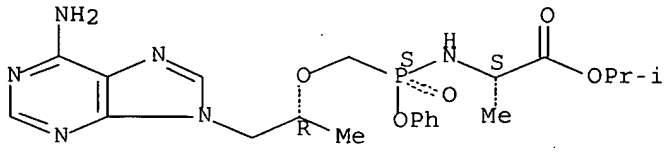
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

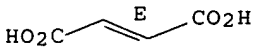
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

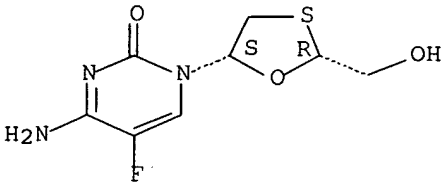


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



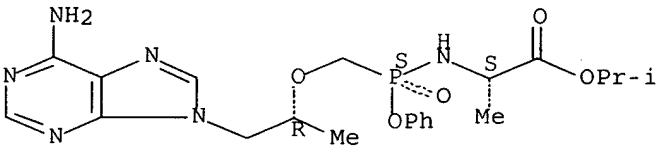
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

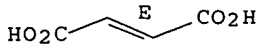
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 2 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2006:275185 USPATFULL Full-text
TITLE:	Compositions and methods for combination antiviral therapy
INVENTOR(S):	Dahl, Terrence C., Sunnyvale, CA, UNITED STATES Menning, Mark M., San Francisco, CA, UNITED STATES Oliyai, Reza, San Carlos, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006234982	A1	20061019	
APPLICATION INFO.:	US 2004-540782	A1	20040113	(10)
	WO 2004-US868		20040113	
			20060403	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404, US
NUMBER OF CLAIMS:	57
EXEMPLARY CLAIM:	1
LINE COUNT:	1686

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to therapeutic combinations of [9-[R-2-[[(S)-[[(S)-1-(isopropoxycarbonyl)ethyl]amino]-phenoxyphosphinyl]methoxy]propyl]adenine (GS-7340) and (2R, 5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)- pyrimidin-2-one (emtricitabine, (-)-cis FTC, Emtriva.TM. and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of GS-7340 and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

RN 379270-38-9 USPATFULL

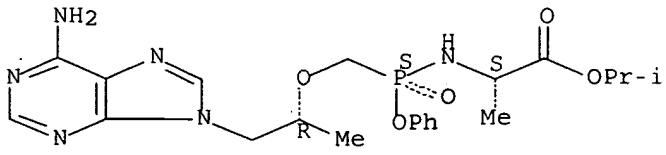
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8

CMF C21 H29 N6 O5 P

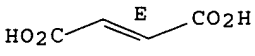
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

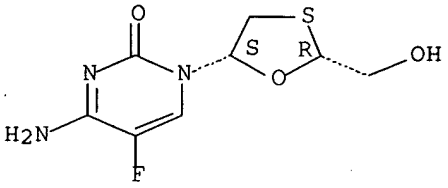


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



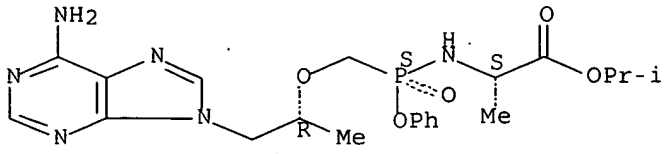
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

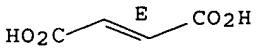
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 3 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2006:27828 USPATFULL Full-text
TITLE:	Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same
INVENTOR(S):	Becker, Mark W., Belmont, CA, UNITED STATES Chapman, Harlan H., La Honda, CA, UNITED STATES Cihlar, Tomas, Foster City, CA, UNITED STATES Eisenberg, Eugene J., San Carlos, CA, UNITED STATES He, Gong-Xin, Fremont, CA, UNITED STATES Kernan, Michael R., Pacifica, CA, UNITED STATES Lee, William A., Los Altos, CA, UNITED STATES Prisbe, Ernest J., Los Altos, CA, UNITED STATES Rohloff, John C., Mountain View, CA, UNITED STATES Sparacino, Mark L., Morgan Hill, CA, UNITED STATES
PATENT ASSIGNEE(S):	GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006024659	A1	20060202
APPLICATION INFO.:	US 2004-785497	A1	20040224 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	DECHERT LLP, P.O. BOX 10004, PALO ALTO, CA, 94303, US
NUMBER OF CLAIMS:	18
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Page(s)
LINE COUNT:	1525

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

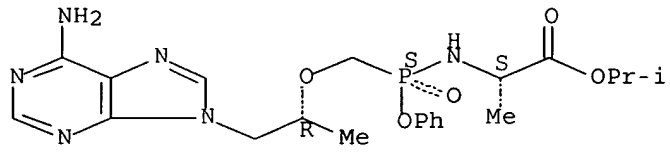
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

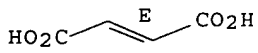
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

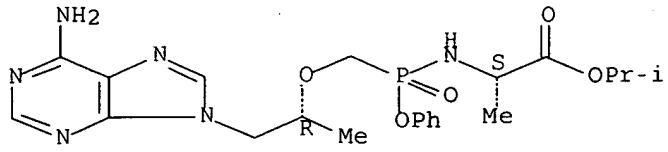
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

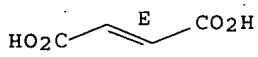
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 4 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:183997 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005159392	A1	20050721
APPLICATION INFO.:	US 2005-31228	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS: 26

EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1599
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

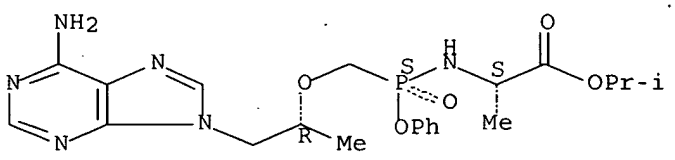
IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

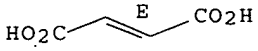
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



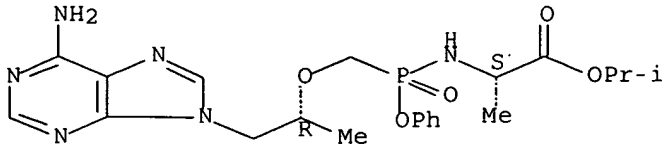
IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 390409-17-3 USPATFULL
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

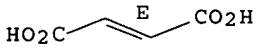
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 5 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2005:144845 USPATFULL Full-text
TITLE:	Prodrugs of phosphonate nucleotide analogues
INVENTOR(S):	Becker, Mark W., Belmont, CA, UNITED STATES Chapman, Harlan H., La Honda, CA, UNITED STATES Cihlar, Tomas, Foster City, CA, UNITED STATES Eisenberg, Eugene J., San Carlos, CA, UNITED STATES He, Gong-Xin, Fremont, CA, UNITED STATES Kernan, Michael R., Pacifica, CA, UNITED STATES Lee, William A., Los Altos, CA, UNITED STATES Prisbe, Ernest J., Los Altos, CA, UNITED STATES Rohloff, John C., Mountain View, CA, UNITED STATES Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005124585	A1	20050609
APPLICATION INFO.:	US 2005-31252	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION

LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1535
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

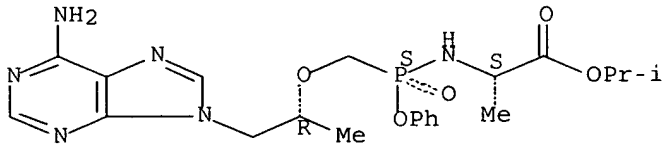
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

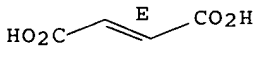
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

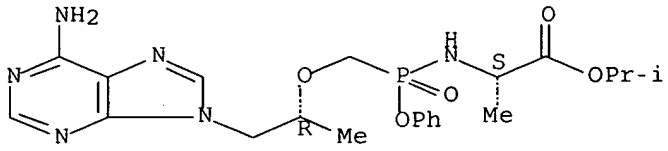
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

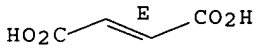
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 6 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:144844 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005124584	A1	20050609
APPLICATION INFO.:	US 2005-31251	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

NUMBER DATE

PRIORITY INFORMATION:	US 2000-220021P 20000721 (60)
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS:	12
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Page(s)
LINE COUNT:	1502

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**

(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

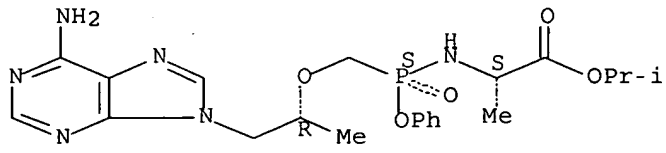
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

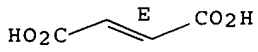
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**

(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

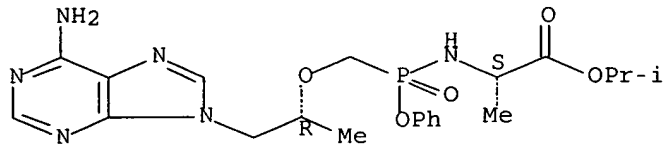
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

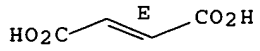
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 7 OF 13 USPATFULL on STN

ACCESSION NUMBER: 2005:144843 USPATFULL Full-text

TITLE: Prodrugs of phosphonate nucleotide analogues

INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Clhlar, Tomas, Foster City, CA, UNITED STATES
Elsenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005124583	A1	20050609
APPLICATION INFO.:	US 2005-31250	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation

of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1487
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

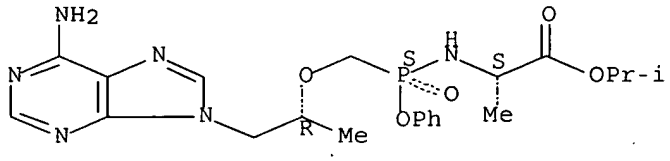
IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

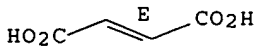
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

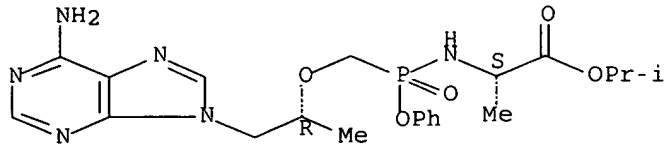


IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 390409-17-3 USPATFULL
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

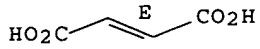
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 8 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:10909 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES
PATENT ASSIGNEE(S): GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005009043	A1	20050113
APPLICATION INFO.:	US 2004-798692	A1	20040311 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Mark L. Bosse, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1565
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1##

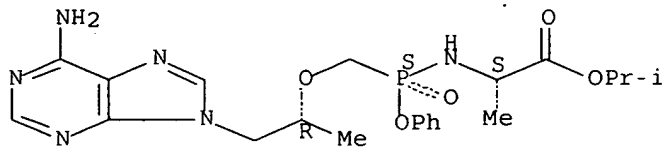
having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

Absolute stereochemistry.

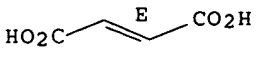


CM 2

CRN 110-17-8
CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

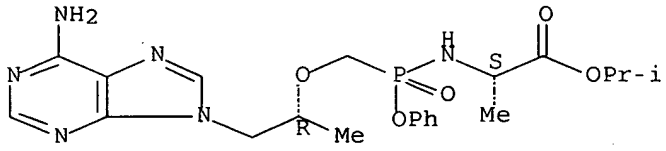


IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 390409-17-3 USPATFULL
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

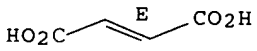
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 9 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:286749 USPATFULL Full-text
TITLE: Compositions and methods for combination antiviral therapy
INVENTOR(S): Dahl, Terrance C., Sunnyvale, CA, UNITED STATES
Menning, Mark M., San Francisco, CA, UNITED STATES
Oliyai, Reza, San Carlos, CA, UNITED STATES
PATENT ASSIGNEE(S): GILEAD SCIENCES, INC. (U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: US 2004224917 A1 20041111
APPLICATION INFO.: US 2004-757141 A1 20040113 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404
NUMBER OF CLAIMS: 58
EXEMPLARY CLAIM: 1
LINE COUNT: 1925
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to therapeutic combinations of [2-(6-amino-purin-9-yl)-1-methyl-ethoxymethyl]-phosphonic acid diisopropoxycarbonyloxymethyl ester (tenofovir disoproxil fumarate, Viread®) and (2R, 5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3- oxathiolan-5-yl)-(1H)-pyrimidin-2-one (emtricitabine, Emtriva.TM., (-)-cis FTC) and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of tenofovir disoproxil fumarate and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

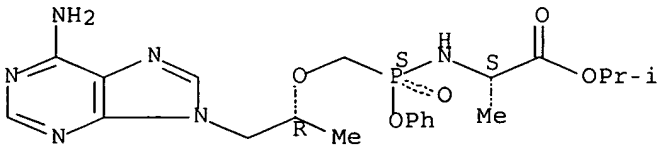
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

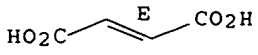
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

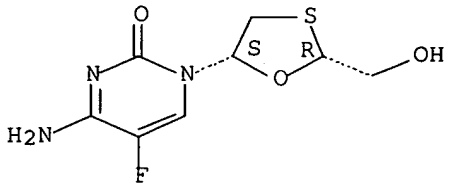


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



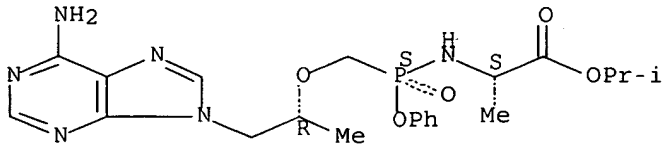
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

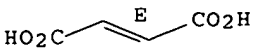
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 10 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2004:286748 USPATFULL Full-text
TITLE:	Compositions and methods for combination antiviral therapy
INVENTOR(S):	Dahl, Terrance C., Sunnyvale, CA, UNITED STATES Menning, Mark M., San Francisco, CA, UNITED STATES Oliyai, Reza, San Carlos, CA, UNITED STATES
PATENT ASSIGNEE(S):	GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004224916	A1	20041111
APPLICATION INFO.:	US 2004-757122	A1	20040113 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Mark Bosse, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404
NUMBER OF CLAIMS:	57
EXEMPLARY CLAIM:	1
LINE COUNT:	1686

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to therapeutic combinations of [9-[R-2-[[(S)-[[(S)-1-(isopropoxycarbonyl)ethyl]amino]-phenoxyphosphinyl]methoxy]propyl]adenine (GS-7340) and (2R,5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)- pyrimidin-2-one (emtricitabine, (-)-cis FTC, Emtriva.TM.) and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of GS-7340 and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

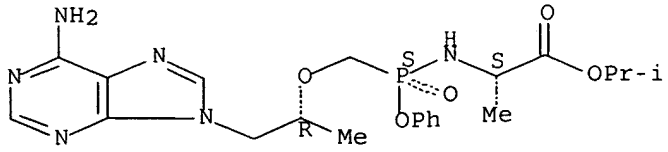
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

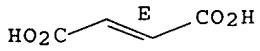
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

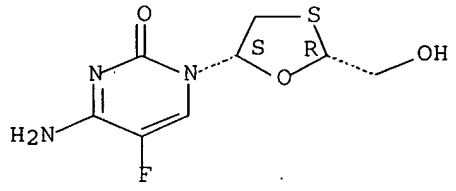


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



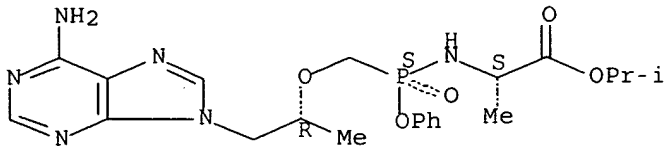
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

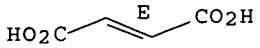
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 11 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:24313 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xi, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Boulder, CO, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004018150	A1	20040129	
APPLICATION INFO.:	US 2003-333107	A1	20030114	(10)
	WO 2001-US23104		20010720	

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Alex Andrus, Gilead Sciences Inc, 333 Lakeside Drive, Foster City, CA, 94404
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1605
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) having substituent groups as defined herein. Compositions of these novel compounds

in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

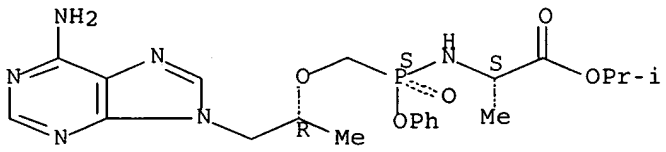
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

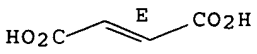
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

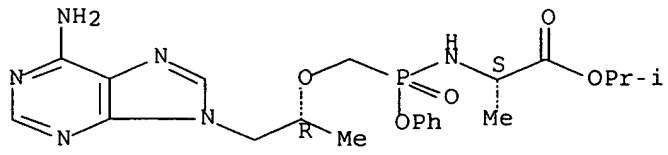
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

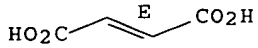
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 12 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2003:312130 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003219727	A1	20031127
APPLICATION INFO.:	US 2003-354207	A1	20030616 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1614
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired

tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1##

having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

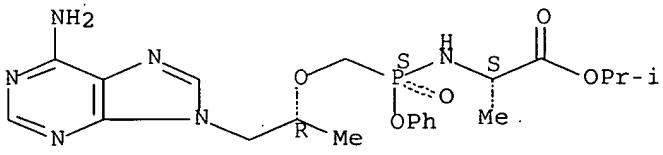
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

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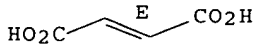

IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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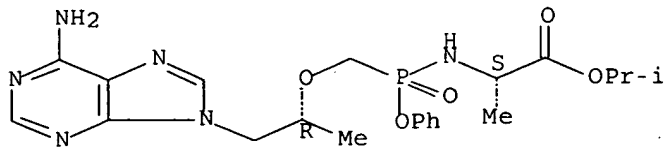
Absolute stereochemistry.



CM 2

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CDES 2:E

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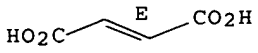


L36 ANSWER 13 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2002:221304 USPATFULL Full-text
TITLE:	Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same
INVENTOR(S):	Becker, Mark W., Belmont, CA, UNITED STATES Chapman, Harlan H., La Honda, CA, UNITED STATES Cihlar, Tomas, Foster City, CA, UNITED STATES Eisenberg, Eugene J., San Carlos, CA, UNITED STATES He, Gong-Xin, Fremont, CA, UNITED STATES Kernan, Michael R., Pacifica, CA, UNITED STATES Lee, William A., Los Altos, CA, UNITED STATES Prisbe, Ernest J., Los Altos, CA, UNITED STATES Rohloff, John C., Mountain View, CA, UNITED STATES Sparacino, Mark L., Morgan Hill, CA, UNITED STATES
PATENT ASSIGNEE(S):	GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002119443	A1	20020829	
APPLICATION INFO.:	US 2001-909560	A1	20010720	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Max D. Hensley, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404

NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1615
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1##

having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

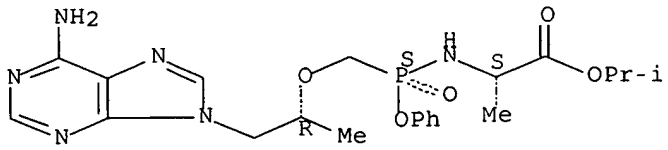
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

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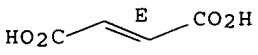


IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide

analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

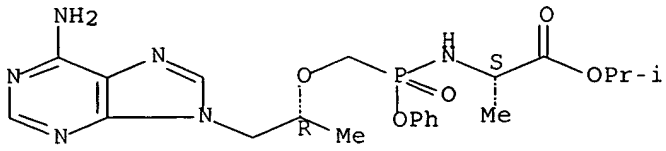
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

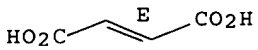
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



SEARCH HISTORY

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E CHAPMAN HARLAN H/AU
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E CIHLAR TOMAS/AU
L3 39 SEA ABB=ON ("CIHLAR T"/AU OR "CIHLAR TOMAS"/AU)
E EISENBERG EUGENE J/AU
L4 50 SEA ABB=ON ("EISENBERG EUGENE"/AU OR "EISENBERG EUGENE J"/AU)

E HE GONG XIN/AU
L5 46 SEA ABB=ON "HE GONG XIN"/AU
E KERNAN MICHAEL R/AU
L6 21 SEA ABB=ON ("KERNAN MICAHEL R"/AU OR "KERNAN MICHAEL"/AU OR "KERNAN MICHAEL R"/AU OR "KERNAN MICHAEL ROWAN"/AU)
E LEE WILLIAM A/AU
L7 151 SEA ABB=ON ("LEE WILLIAM"/AU OR "LEE WILLIAM A"/AU OR "LEE WILLIAM ALEXANDER"/AU OR "LEE WILLIAM ALFRED"/AU)
E PRISBE ERNEST J/AU
L8 40 SEA ABB=ON ("PRISBE E"/AU OR "PRISBE E J"/AU OR "PRISBE ERNEST J"/AU)
E ROHLOFF JOHN C/AU
L9 28 SEA ABB=ON ("ROHLOFF JOHN C"/AU OR "ROHLOFF JOHN CHRISTIAN"/AU)
E SPARACINO MARK L/AU
L10 11 SEA ABB=ON ("SPARACINO M"/AU OR "SPARACINO MARK"/AU OR "SPARACINO MARK L"/AU)
L11 1 SEA ABB=ON L1 AND L2 AND L3 AND L4 AND L5 AND L6 AND L7 AND L8 AND L9 AND L10
SELECT RN L11 1

FILE 'REGISTRY' ENTERED AT 14:02:53 ON 15 MAR 2007
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S 379270-36-7/CRN OR 390409-17-3/RN

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L14 1 SEA ABB=ON 379270-36-7/CRN

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L18           1 SEA ABB=ON  379270-36-7/CRN OR 390409-17-3/RN
L19           1 SEA ABB=ON  L18 AND L17

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L21           9 SEA ABB=ON  L19

     FILE 'HCAPLUS, USPATFULL' ENTERED AT 14:07:17 ON 15 MAR 2007
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L26           1 SEA SSS SAM L25
L27          11 SEA SSS FUL L25
L28           3 SEA ABB=ON  L27 AND 110-17-8/CRN

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L35          13 DUP REMOV L22 L29 (1 DUPLICATE REMOVED)
L36          13 SEA ABB=ON  L34 OR L35

     FILE HOME

     FILE HCAPLUS

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     FILE COVERS 1907 - 15 Mar 2007  VOL 146 ISS 12
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FILE LAST UPDATED: 14 Mar 2007 (20070314/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAR 2007 HIGHEST RN 926494-79-3
DICTIONARY FILE UPDATES: 14 MAR 2007 HIGHEST RN 926494-79-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Mar 2007 (20070315/PD)
FILE LAST UPDATED: 15 Mar 2007 (20070315/ED)
HIGHEST GRANTED PATENT NUMBER: US7191469
HIGHEST APPLICATION PUBLICATION NUMBER: US2007061936
CA INDEXING IS CURRENT THROUGH 15 Mar 2007 (20070315/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Mar 2007 (20070315/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2006

FILE BEILSTEIN
FILE LAST UPDATED ON JANUARY 10, 2007

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,780,003 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
**********************************************************************
    NEW
* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
  SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
  ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
  COMPOUND AT A GLANCE.
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10798692

INVENTOR SEARCH

=> d ibib abs hitstr l13 1-1

L13 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:90059 HCAPLUS Full-text
DOCUMENT NUMBER: 136:118705
TITLE: Preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis
INVENTOR(S): **Becker, Mark W.; Chapman, Harlan H.; Cihlar, Tomas; Eisenberg, Eugene J.; He, Gong-Xin; Kernan, Michael R.; Lee, William A.; Prisbe, Ernest J.; Rohloff, John C.; Sparacino, Mark L.**
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008241	A2	20020131	WO 2001-US23104	20010720
WO 2002008241	A3	20020829		
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			WO 2001-US23104	W	20010720
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			US 2004-798692	A1	20040311

OTHER SOURCE(S): MARPAT 136:118705

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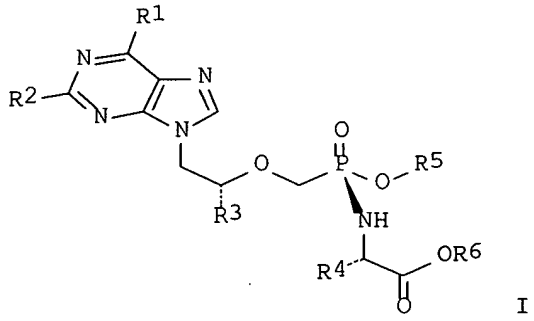

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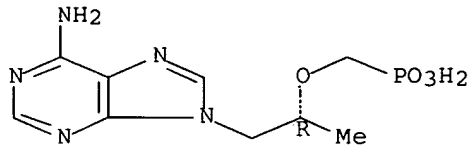
AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogs is to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compds. I, wherein R1 is amino, alkylamino, oxo, dialkylamino; R2 is amino, H; R3 is H, Me; R4 is Me, amino acid residue; R5, R6 are independently H, alkyl, alkenyl, alkynyl, aryl or arylalkyl which is substituted with from 1 to 3 substituents selected from alkylamino, alkylaminoalkyl, dialkylaminoalkyl, dialkylamino, hydroxy, oxo, halo, amino, alkylthio, alkoxy, and their use in therapy and prophylaxis. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compds. for use herein. Thus, fumarate salt of I (R1 = NH2, R2 = H, R3 = R4 = Me, R5 = Ph, R6 = iPr) was prepared and tested in vitro and in dogs as antiviral agent.

IT **147127-20-6P 201341-05-1P 342631-31-6P**
379270-38-9P 382140-25-2P 383365-04-6P
390409-19-5P 390409-27-5P 390409-29-7P
390409-32-2P 390409-34-4P 390409-35-5P
390409-37-7P 390409-39-9P 390409-41-3P
390409-44-6P 390409-46-8P 390409-48-0P
390409-50-4P 390409-51-5P 390409-52-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

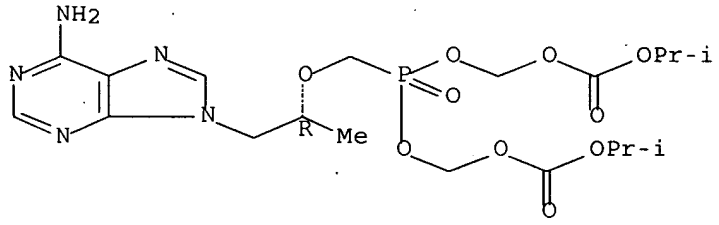
RN 147127-20-6 HCAPLUS
CN Phosphonic acid, P-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



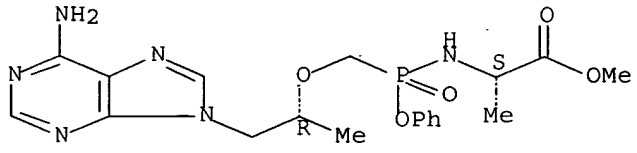
RN 201341-05-1 HCAPLUS
CN 2,4,6,8-Tetraoxa-5-phosphanonanedioic acid, 5-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]-, bis(1-methylethyl) ester, 5-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 342631-31-6 HCAPLUS
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

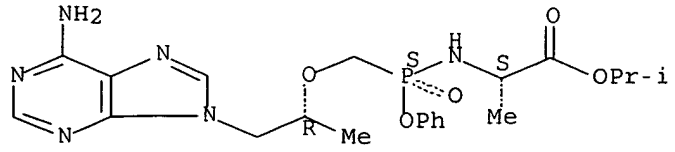


RN 379270-38-9 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

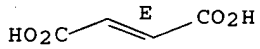
Absolute stereochemistry.



CM 2

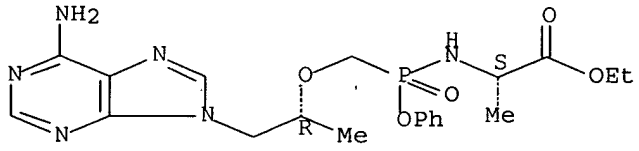
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



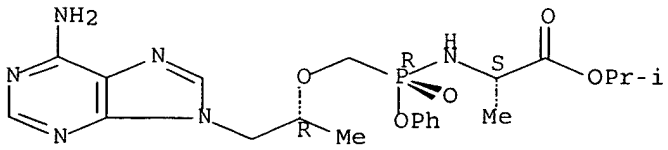
RN 382140-25-2 HCAPLUS
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



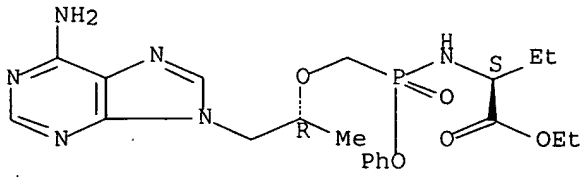
RN 383365-04-6 HCAPLUS
CN L-Alanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



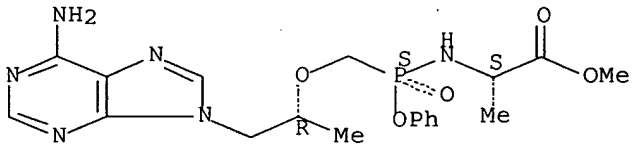
RN 390409-19-5 HCAPLUS
CN Butanoic acid, 2-[[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]amino]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



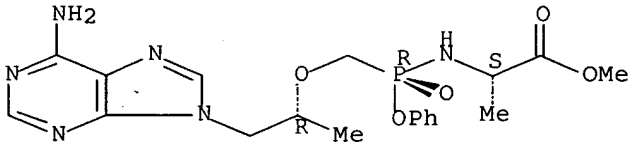
RN 390409-27-5 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



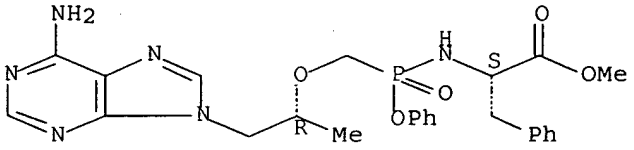
RN 390409-29-7 HCAPLUS
CN L-Alanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 390409-32-2 HCAPLUS
CN L-Phenylalanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

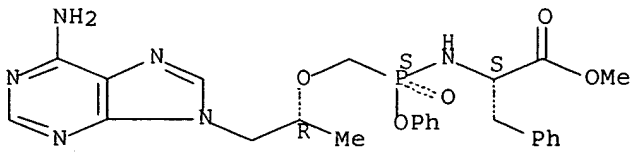
Absolute stereochemistry.



RN 390409-34-4 HCAPLUS
CN L-Phenylalanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-

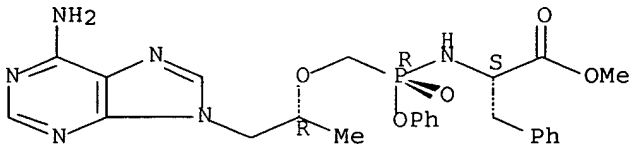
methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



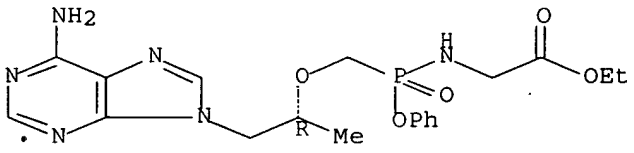
RN 390409-35-5 HCAPLUS
CN L-Phenylalanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



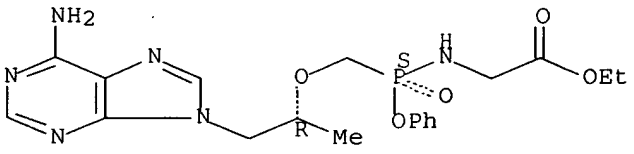
RN 390409-37-7 HCAPLUS
CN Glycine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



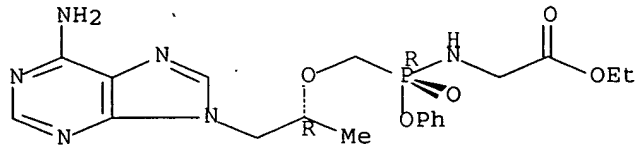
RN 390409-39-9 HCAPLUS
CN Glycine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



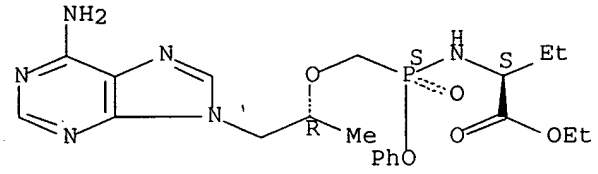
RN 390409-41-3 HCAPLUS
CN Glycine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



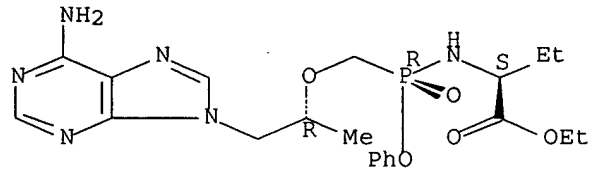
RN 390409-44-6 HCAPLUS
CN Butanoic acid, 2-[[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]amino]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



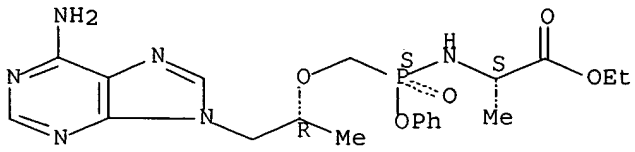
RN 390409-46-8 HCAPLUS
CN Butanoic acid, 2-[[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]amino]-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



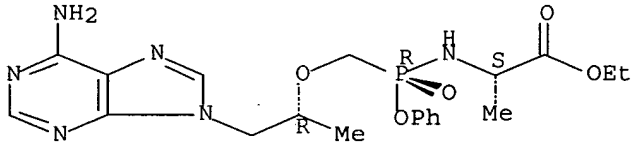
RN 390409-48-0 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



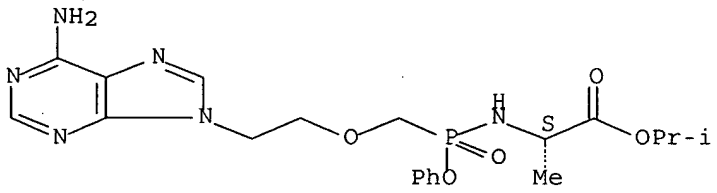
RN 390409-50-4 HCAPLUS
CN L-Alanine, N-[(R)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



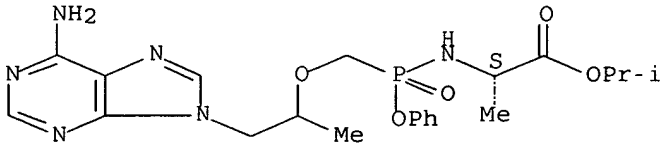
RN 390409-51-5 HCAPLUS
CN L-Alanine, N-[[[2-(6-amino-9H-purin-9-yl)ethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 390409-52-6 HCAPLUS
CN L-Alanine, N-[[[2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

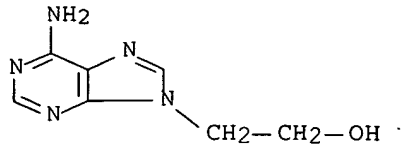


IT **707-99-3P 14047-28-0P 106941-25-7P**
379270-35-6P 379270-36-7P 379270-37-8P
390409-17-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide

analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 707-99-3 HCAPLUS

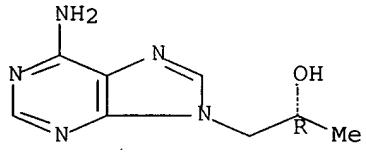
CN 9H-Purine-9-ethanol, 6-amino- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 14047-28-0 HCAPLUS

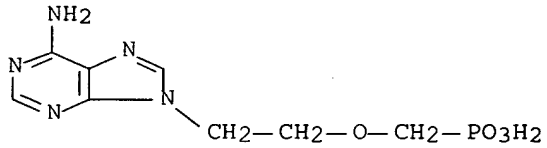
CN 9H-Purine-9-ethanol, 6-amino-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 106941-25-7 HCAPLUS

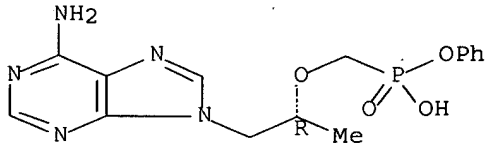
CN Phosphonic acid, P-[[2-(6-amino-9H-purin-9-yl)ethoxy]methyl]- (CA INDEX NAME)



RN 379270-35-6 HCAPLUS

CN Phosphonic acid, [[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]-, monophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

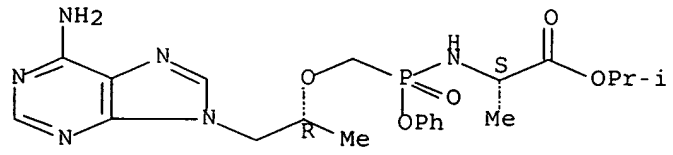


RN 379270-36-7 HCAPLUS

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA

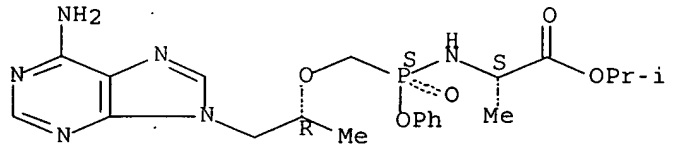
INDEX NAME)

Absolute stereochemistry.



RN 379270-37-8 HCAPLUS
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

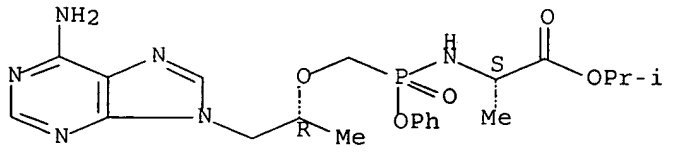


RN 390409-17-3 HCAPLUS
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

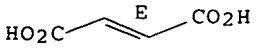
Absolute stereochemistry.



CM 2

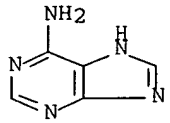
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

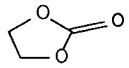


IT 73-24-5, Adenine, reactions 96-49-1, Ethylene carbonate
110-17-8, Fumaric acid, reactions 126-33-0,
Tetramethylene sulfone 538-75-0, 1,3-Dicyclohexylcarbodiimide
872-50-4, 1-Methyl-2-pyrrolidinone, reactions 1115-59-9
1529-17-5, Phenoxytrimethylsilane 2127-03-9,
2-Aldrithiol 15571-48-9, Magnesium isopropoxide
16606-55-6 31618-90-3, Diethyl p-
toluenesulfonyloxymethylphosphonate 32149-57-8
39825-33-7, (L)-Alanine isopropyl ester 40916-98-1
55904-02-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 73-24-5 HCAPLUS
CN 1H-Purin-6-amine (9CI) (CA INDEX NAME)

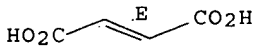


RN 96-49-1 HCAPLUS
CN 1,3-Dioxolan-2-one (CA INDEX NAME)

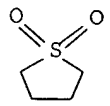


RN 110-17-8 HCAPLUS
CN 2-Butenedioic acid (2E)- (9CI) (CA INDEX NAME)

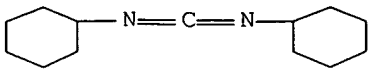
Double bond geometry as shown.



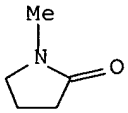
RN 126-33-0 HCAPLUS
CN Thiophene, tetrahydro-, 1,1-dioxide (CA INDEX NAME)



RN 538-75-0 HCAPLUS
CN Cyclohexanamine, N,N'-methanetetraylbis- (9CI) (CA INDEX NAME)

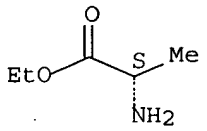


RN 872-50-4 HCAPLUS
CN 2-Pyrrolidinone, 1-methyl- (CA INDEX NAME)



RN 1115-59-9 HCAPLUS
CN L-Alanine, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



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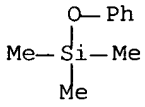
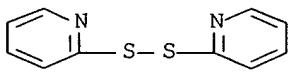
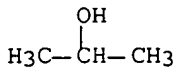
CN Silane, trimethylphenoxy- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



RN 2127-03-9 HCAPLUS
CN Pyridine, 2,2'-dithiobis- (CA INDEX NAME)



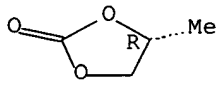
RN 15571-48-9 HCAPLUS
CN 2-Propanol, magnesium salt (9CI) (CA INDEX NAME)



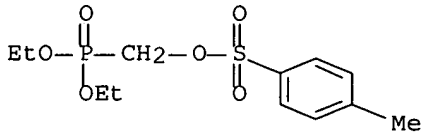
●1/2 Mg

RN 16606-55-6 HCAPLUS
CN 1,3-Dioxolan-2-one, 4-methyl-, (4R)- (9CI) (CA INDEX NAME)

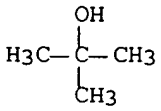
Absolute stereochemistry. Rotation (+).



RN 31618-90-3 HCAPLUS
CN Phosphonic acid, P-[[[(4-methylphenyl)sulfonyl]oxy]methyl]-, diethyl ester (CA INDEX NAME)



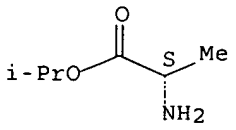
RN 32149-57-8 HCAPLUS
CN 2-Propanol, 2-methyl-, magnesium salt (9CI) (CA INDEX NAME)



●1/2 Mg

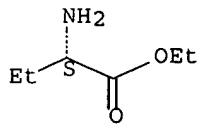
RN 39825-33-7 HCAPLUS
CN L-Alanine, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

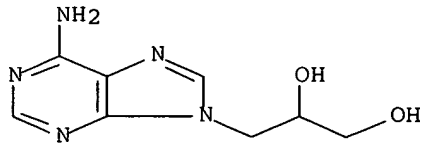


RN 40916-98-1 HCAPLUS
CN Butanoic acid, 2-amino-, ethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 55904-02-4 HCAPLUS
CN 1,2-Propanediol, 3-(6-amino-9H-purin-9-yl)- (9CI) (CA INDEX NAME)



SEARCH IN REGISTRY, CAPLUS, AND USPATFULL

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L18           1 SEA FILE=REGISTRY ABB=ON  379270-36-7/CRN OR 390409-17-3/RN
L19           1 SEA FILE=REGISTRY ABB=ON  L18 AND L17
L20           1 SEA FILE=HCAPLUS ABB=ON  L19
L21           9 SEA FILE=USPATFULL ABB=ON  L19
L22          10 DUP REMOV L20 L21 (0 DUPLICATES REMOVED)
L25             STR
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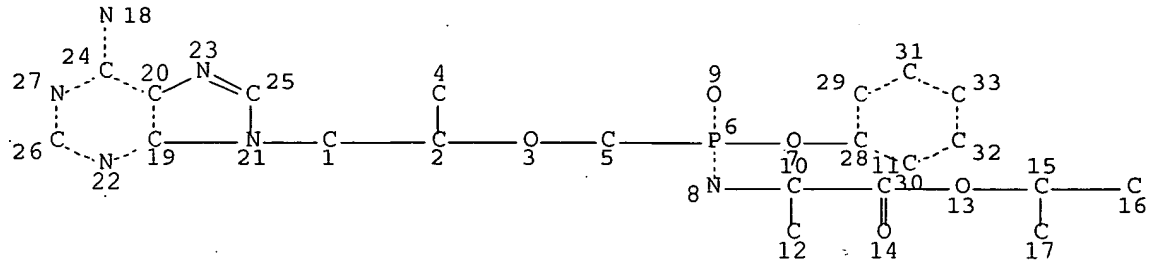

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NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  33

STEREO ATTRIBUTES: NONE
L27          11 SEA FILE=REGISTRY SSS FUL L25
L28           3 SEA FILE=REGISTRY ABB=ON  L27 AND 110-17-8/CRN
L29           4 SEA FILE=HCAPLUS ABB=ON  L28
L33           9 SEA FILE=USPATFULL L22
L34          13 SEA FILE=USPATFULL ABB=ON  L33 OR L29
L35          13 DUP REMOV L22 L29 (1 DUPLICATE REMOVED)
L36          13 SEA L34 OR L35


=> d ibib abs hitstr l36 1-13

L36  ANSWER 1 OF 13  USPATFULL on STN
ACCESSION NUMBER:        2006:288142  USPATFULL  Full-text
TITLE:                   Compositions and methods for combination antiviral
                         therapy
INVENTOR(S):             Dahl, Terrence C., 9 HUGO STREET, SAN FRANCISCO, CA,
                         UNITED STATES  94121
                         Menning, Mark M., San Francisco, CA, UNITED STATES
                         Oliyai, Reza, San Carlos, CA, UNITED STATES

                              NUMBER         KIND    DATE
                         ---------------- ------ --------
PATENT INFORMATION:      US 2006246130      A1   20061102
APPLICATION INFO.:       US 2004-540794     A1   20040113  (10)
                         WO 2004-US832           20040113
                                                 20060320  PCT 371 date
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	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404, US	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1-58	
LINE COUNT:	1797	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to therapeutic combinations of [2-(6-amino-purin-9 yl)-1-methyl-ethoxymethyl]-phosphonic acid diisopropoxycarbonyloxymethyl ester (tenofovir disoproxil fumarate, Viread®) and (2R,5S,cis)-4-amino-5-fluoro-1-(2 hydroxymethyl-1,3- oxathiolan-5-yl)-(1H)-pyrimidin-2-one (emtricitabine, Emtriva.TM., (-)-cis FTC) and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of tenofovir disoproxil fumarate and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

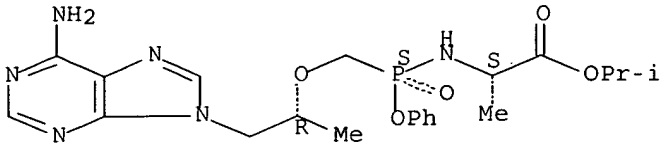
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

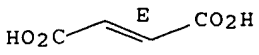
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

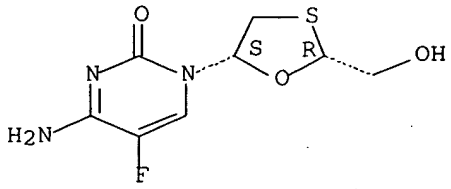


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



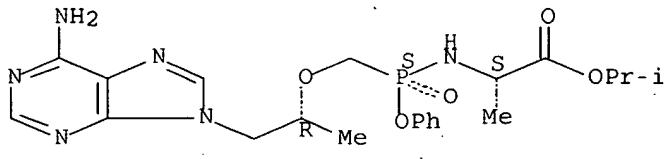
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

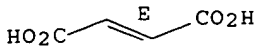
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 2 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2006:275185 USPATFULL Full-text
TITLE: Compositions and methods for combination antiviral therapy
INVENTOR(S): Dahl, Terrence C., Sunnyvale, CA, UNITED STATES
Menning, Mark M., San Francisco, CA, UNITED STATES
Oliyai, Reza, San Carlos, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006234982	A1	20061019	
APPLICATION INFO.:	US 2004-540782	A1	20040113	(10)
	WO 2004-US868		20040113	
			20060403	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)

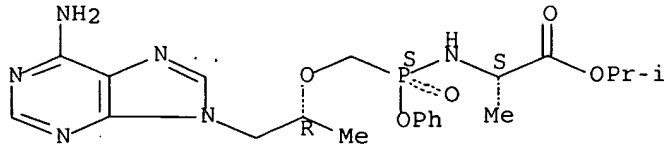
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404, US
NUMBER OF CLAIMS: 57
EXEMPLARY CLAIM: 1
LINE COUNT: 1686
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to therapeutic combinations of [9-[R-2-[[(S)-[[(S)-1-(isopropoxycarbonyl)ethyl]amino]-phenoxyphosphinyl]methoxy]propyl]adenine (GS-7340) and (2R, 5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)- pyrimidin-2-one (emtricitabine, (-)-cis FTC, Emtriva.TM. and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of GS-7340 and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

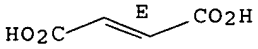
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

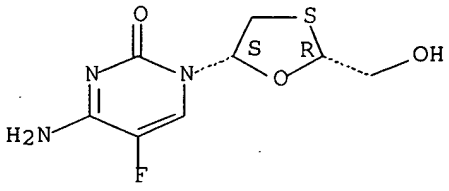


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



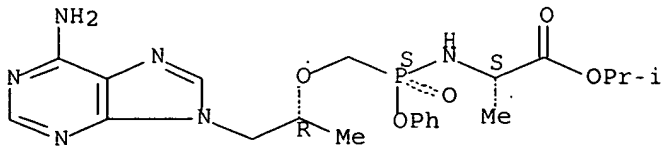
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

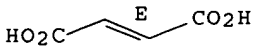
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 3 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2006:27828 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES
PATENT ASSIGNEE(S): GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2006024659	A1	20060202
APPLICATION INFO.:	US 2004-785497	A1	20040224 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DECHERT LLP, P.O. BOX 10004, PALO ALTO, CA, 94303, US
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1525
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

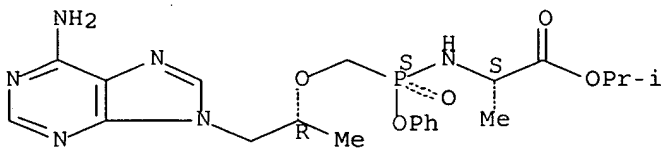
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

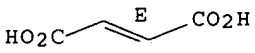
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

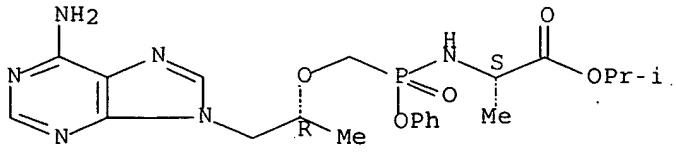
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

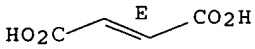
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 4 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2005:183997 USPATFULL Full-text
TITLE:	Prodrugs of phosphonate nucleotide analogues
INVENTOR(S):	Becker, Mark W., Belmont, CA, UNITED STATES Chapman, Harlan H., La Honda, CA, UNITED STATES Cihlar, Tomas, Foster City, CA, UNITED STATES Eisenberg, Eugene J., San Carlos, CA, UNITED STATES He, Gong-Xin, Fremont, CA, UNITED STATES Kernan, Michael R., Pacifica, CA, UNITED STATES Lee, William A., Los Altos, CA, UNITED STATES Prisbe, Ernest J., Los Altos, CA, UNITED STATES Rohloff, John C., Mountain View, CA, UNITED STATES Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005159392	A1	20050721
APPLICATION INFO.:	US 2005-31228	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS:	26

EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1599
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

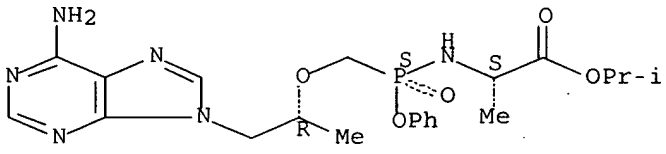
IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

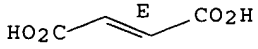
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



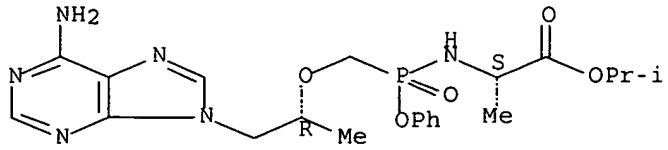
IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

RN 390409-17-3 USPATFULL
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

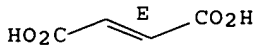
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 5 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2005:144845 USPATFULL Full-text
TITLE:	Prodrugs of phosphonate nucleotide analogues
INVENTOR(S):	Becker, Mark W., Belmont, CA, UNITED STATES Chapman, Harlan H., La Honda, CA, UNITED STATES Cihlar, Tomas, Foster City, CA, UNITED STATES Eisenberg, Eugene J., San Carlos, CA, UNITED STATES He, Gong-Xin, Fremont, CA, UNITED STATES Kernan, Michael R., Pacifica, CA, UNITED STATES Lee, William A., Los Altos, CA, UNITED STATES Prisbe, Ernest J., Los Altos, CA, UNITED STATES Rohloff, John C., Mountain View, CA, UNITED STATES Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005124585	A1	20050609
APPLICATION INFO.:	US 2005-31252	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1535
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

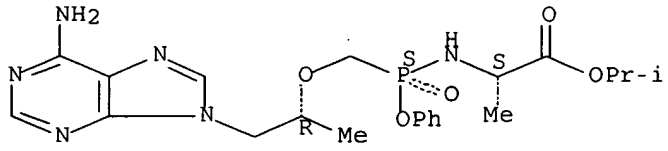
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

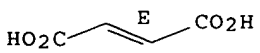
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

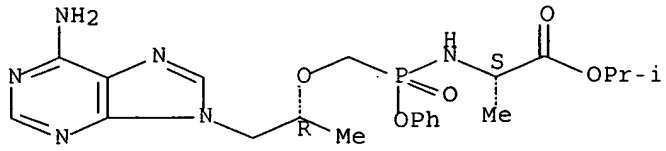
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

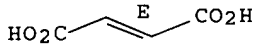
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 6 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:144844 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005124584	A1	20050609
APPLICATION INFO.:	US 2005-31251	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

NUMBER DATE

PRIORITY INFORMATION:	US 2000-220021P 20000721 (60)
DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS:	12
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Page(s)
LINE COUNT:	1502

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**

(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

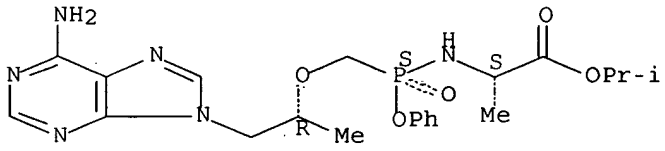
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

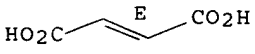
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**

(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

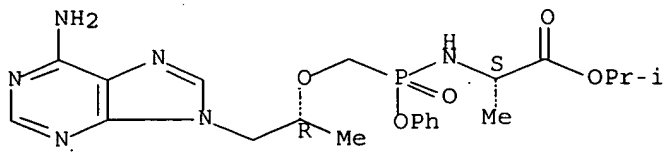
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

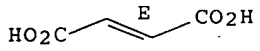
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 7 OF 13 USPATFULL on STN

ACCESSION NUMBER: 2005:144843 USPATFULL Full-text

TITLE: Prodrugs of phosphonate nucleotide analogues

INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Clhlar, Tomas, Foster City, CA, UNITED STATES
Elsenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005124583	A1	20050609
APPLICATION INFO.:	US 2005-31250	A1	20050106 (11)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-798692, filed on 11 Mar 2004, PENDING Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation

of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: DORSEY & WHITNEY LLP, INTELLECTUAL PROPERTY DEPARTMENT, 4 EMBARCADERO CENTER, SUITE 3400, SAN FRANCISCO, CA, 94111, US
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1487
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

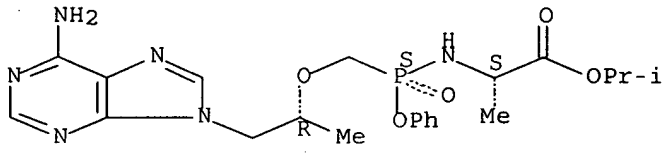
AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1## having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

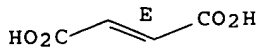
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

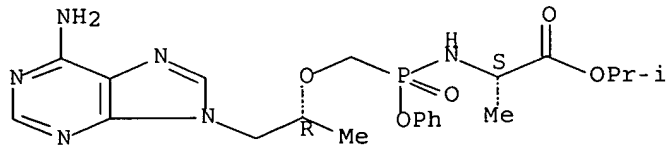


IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 390409-17-3 USPATFULL
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

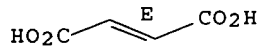
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 8 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:10909 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES
PATENT ASSIGNEE(S): GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005009043	A1	20050113
APPLICATION INFO.:	US 2004-798692	A1	20040311 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2003-354207, filed on 28 Jan 2003, PENDING Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, ABANDONED

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Mark L. Bosse, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Page(s)
LINE COUNT: 1565
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1##

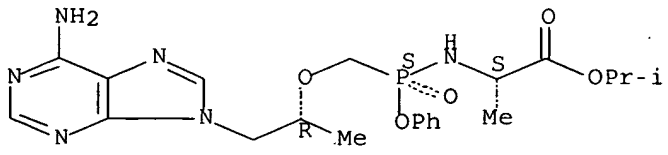
having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

Absolute stereochemistry.

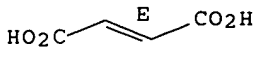


CM 2

CRN 110-17-8
CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

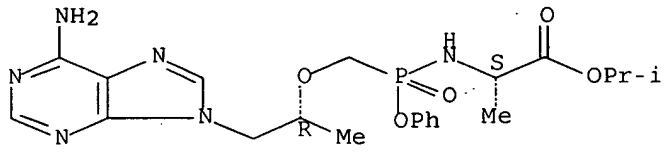


IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)
RN 390409-17-3 USPATFULL
CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

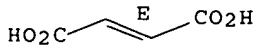
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 9 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:286749 USPATFULL Full-text
TITLE: Compositions and methods for combination antiviral therapy
INVENTOR(S): Dahl, Terrance C., Sunnyvale, CA, UNITED STATES
Menning, Mark M., San Francisco, CA, UNITED STATES
Oliyai, Reza, San Carlos, CA, UNITED STATES
PATENT ASSIGNEE(S): GILEAD SCIENCES, INC. (U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: US 2004224917 A1 20041111
APPLICATION INFO.: US 2004-757141 A1 20040113 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-440308P	20030114 (60)
	US 2003-440246P	20030114 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404
NUMBER OF CLAIMS: 58
EXEMPLARY CLAIM: 1
LINE COUNT: 1925
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

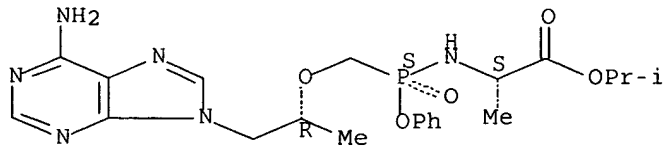
AB The present invention relates to therapeutic combinations of [2-(6-amino-purin-9-yl)-1-methyl-ethoxymethyl]-phosphonic acid diisopropoxycarbonyloxymethyl ester (tenofovir disoproxil fumarate, Viread®) and (2R, 5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3- oxathiolan-5-yl)-(1H)-pyrimidin-2-one (emtricitabine, Emtriva.TM., (-)-cis FTC) and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of tenofovir disoproxil fumarate and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

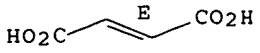
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

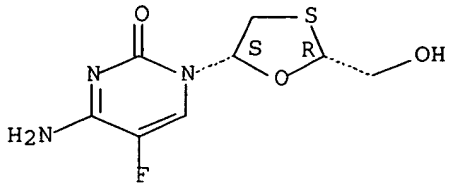


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



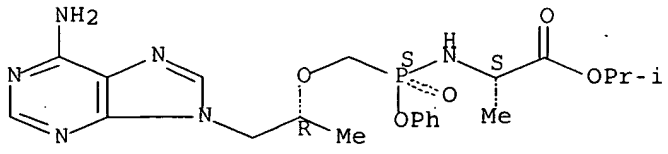
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

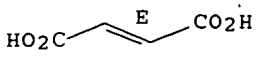
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 10 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:286748 USPATFULL Full-text
TITLE: Compositions and methods for combination antiviral therapy
INVENTOR(S): Dahl, Terrance C., Sunnyvale, CA, UNITED STATES
Menning, Mark M., San Francisco, CA, UNITED STATES
Oliyai, Reza, San Carlos, CA, UNITED STATES
PATENT ASSIGNEE(S): GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004224916	A1	20041111	
APPLICATION INFO.:	US 2004-757122	A1	20040113	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2003-440308P	20030114	(60)
	US 2003-440246P	20030114	(60)

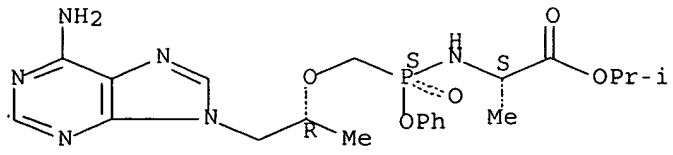
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Mark Bosse, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404
NUMBER OF CLAIMS: 57
EXEMPLARY CLAIM: 1
LINE COUNT: 1686
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to therapeutic combinations of [9-[R-2-[[(S)-[[(S)-1-(isopropoxycarbonyl)ethyl]amino]-phenoxyphosphinyl]methoxy]propyl]adenine (GS-7340) and (2R,5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)- pyrimidin-2-one (emtricitabine, (-)-cis FTC, Emtriva.TM.) and their physiologically functional derivatives. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The present invention is also concerned with pharmaceutical compositions and formulations of said combinations of GS-7340 and emtricitabine, and their physiologically functional derivatives, as well as therapeutic methods of use of those compositions and formulations.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **379270-38-9**, GS 7340 fumarate **731772-57-9**
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
RN 379270-38-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

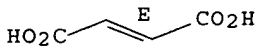
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.

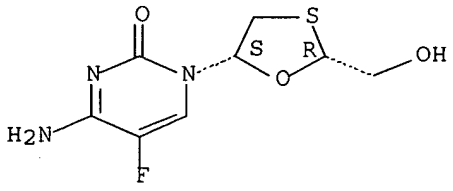


RN 731772-57-9 USPATFULL
CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1), mixt. with 4-amino-5-fluoro-1-[(2R,5S)-2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1H)-pyrimidinone (9CI) (CA INDEX NAME)

CM 1

CRN 143491-57-0
CMF C8 H10 F N3 O3 S

Absolute stereochemistry. Rotation (-).



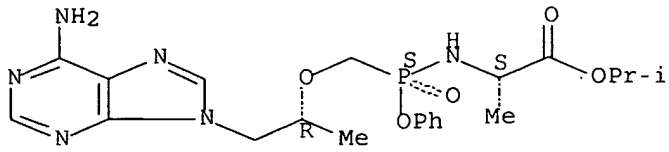
CM 2

CRN 379270-38-9
CMF C21 H29 N6 O5 P . C4 H4 O4

CM 3

CRN 379270-37-8
CMF C21 H29 N6 O5 P

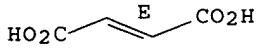
Absolute stereochemistry.



CM 4

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 11 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:24313 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xi, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Boulder, CO, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004018150	A1	20040129	
APPLICATION INFO.:	US 2003-333107	A1	20030114	(10)
	WO 2001-US23104		20010720	

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Alex Andrus, Gilead Sciences Inc, 333 Lakeside Drive, Foster City, CA, 94404
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1605
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) having substituent groups as defined herein. Compositions of these novel compounds

in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

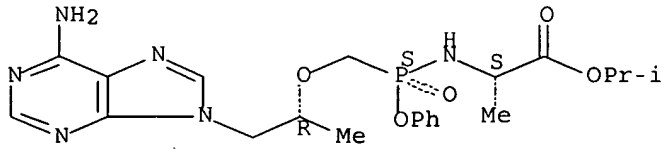
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

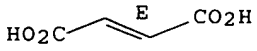
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

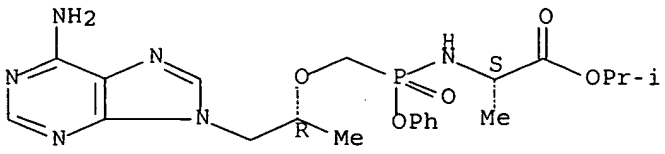
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

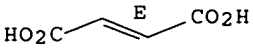
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 12 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2003:312130 USPATFULL Full-text
TITLE: Prodrugs of phosphonate nucleotide analogues
INVENTOR(S): Becker, Mark W., Belmont, CA, UNITED STATES
Chapman, Harlan H., La Honda, CA, UNITED STATES
Cihlar, Tomas, Foster City, CA, UNITED STATES
Eisenberg, Eugene J., San Carlos, CA, UNITED STATES
He, Gong-Xin, Fremont, CA, UNITED STATES
Kernan, Michael R., Pacifica, CA, UNITED STATES
Lee, William A., Los Altos, CA, UNITED STATES
Prisbe, Ernest J., Los Altos, CA, UNITED STATES
Rohloff, John C., Mountain View, CA, UNITED STATES
Sparacino, Mark L., Morgan Hill, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003219727	A1	20031127
APPLICATION INFO.:	US 2003-354207	A1	20030616 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-909560, filed on 20 Jul 2001, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: GILEAD SCIENCES INC, 333 LAKESIDE DR, FOSTER CITY, CA, 94404
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1614
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired

tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1##

having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**

(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

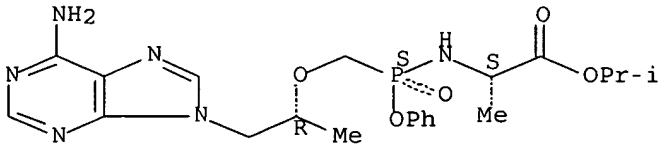
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

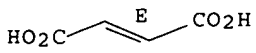
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**

(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

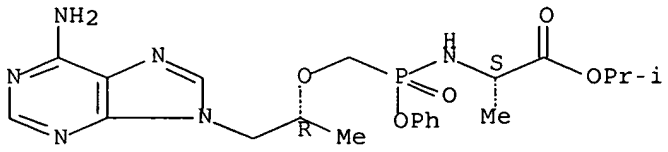
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

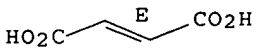
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



L36 ANSWER 13 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2002:221304 USPATFULL Full-text
TITLE:	Prodrugs of phosphonate nucleotide analogues and methods for selecting and making same
INVENTOR(S):	Becker, Mark W., Belmont, CA, UNITED STATES Chapman, Harlan H., La Honda, CA, UNITED STATES Cihlar, Tomas, Foster City, CA, UNITED STATES Eisenberg, Eugene J., San Carlos, CA, UNITED STATES He, Gong-Xin, Fremont, CA, UNITED STATES Kernan, Michael R., Pacifica, CA, UNITED STATES Lee, William A., Los Altos, CA, UNITED STATES Prisbe, Ernest J., Los Altos, CA, UNITED STATES Rohloff, John C., Mountain View, CA, UNITED STATES Sparacino, Mark L., Morgan Hill, CA, UNITED STATES
PATENT ASSIGNEE(S):	GILEAD SCIENCES, INC. (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002119443	A1	20020829	
APPLICATION INFO.:	US 2001-909560	A1	20010720	(9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-220021P	20000721 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	Max D. Hensley, Gilead Sciences, Inc., 333 Lakeside Drive, Foster City, CA, 94404

NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1615
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogues to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compounds of structure (5a) ##STR1##

having substituent groups as defined herein. Compositions of these novel compounds in pharmaceutically acceptable excipients and their use in therapy and prophylaxis are provided. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compounds for use herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **379270-38-9P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

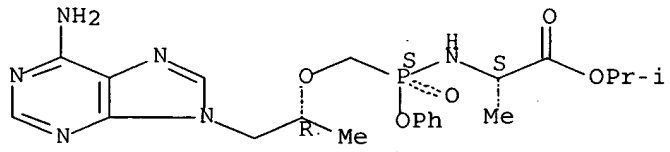
RN 379270-38-9 USPATFULL

CN L-Alanine, N-[(S)-[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-37-8
CMF C21 H29 N6 O5 P

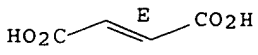
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



IT **390409-17-3P**
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide

analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

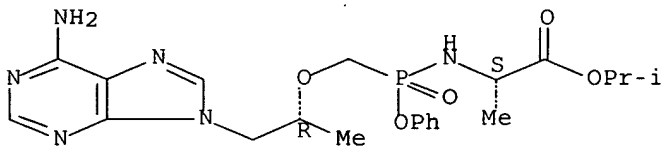
RN 390409-17-3 USPATFULL

CN L-Alanine, N-[[[(1R)-2-(6-amino-9H-purin-9-yl)-1-methylethoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 379270-36-7
CMF C21 H29 N6 O5 P

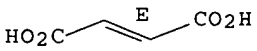
Absolute stereochemistry.



CM 2

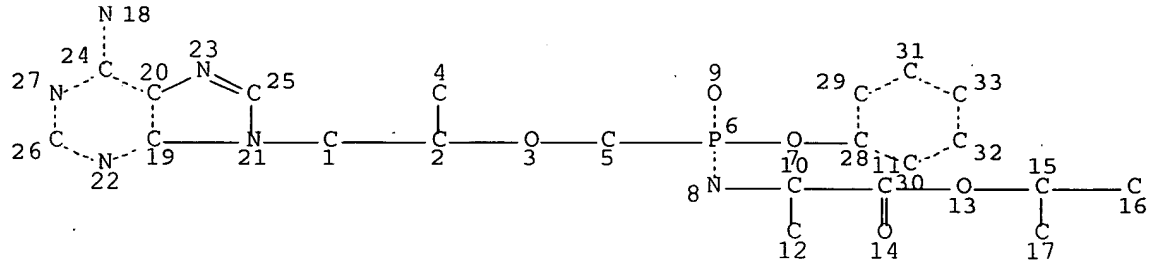
CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



SEARCH IN MARPAT

=> d que stat l37
L25 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE
L37 3 SEA FILE=MARPAT SSS FUL L25

100.0% PROCESSED 15 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.04

L37 ANSWER 1 OF 3 MARPAT COPYRIGHT 2007 ACS on STN
AN 141:150964 MARPAT Full-text
TI Composition and methods using GS-7340 and emtricitabine and their derivatives for combination antiviral therapy
IN Dahl, Terrence C.; Menning, Mark M.; Oliyai, Reza
PA Gilead Sciences, Inc., USA
SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K031-675
ICS A61K031-513
CC 1-5 (Pharmacology)
Section cross-reference(s): 63
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004064846	A1	20040805	WO 2004-US868	20040113
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	AU 2004206827	A1	20040805	AU 2004-206827	20040113
	CA 2512319	A1	20040805	CA 2004-2512319	20040113
	US 2004224916	A1	20041111	US 2004-757122	20040113
	US 2004224917	A1	20041111	US 2004-757141	20040113
	EP 1585527	A1	20051019	EP 2004-701840	20040113
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

	CN 1738628	A	20060222	CN 2004-80002190	20040113
	JP 2006515624	T	20060601	JP 2006-500943	20040113
	US 2006234982	A1	20061019	US 2006-540782	20060403
PRAI	US 2003-440246P	20030114			
	US 2003-440308P	20030114			
	WO 2004-US868	20040113			

AB The invention discloses therapeutic combinations of 9-[R-2-[[(S)-[[(S)-1-(isopropoxycarbonyl)ethyl]amino]-phenoxyphosphinyl]methoxy]propyl]adenine(GS-7340) compds. and (2R, 5S, cis)-4-amino-5-fluoro-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one [emtricitabine,(-)-cis FTC, EmtrivaTM] and their physiol. functional derivs. The combinations may be useful in the treatment of HIV infections, including infections with HIV mutants bearing resistance to nucleoside and/or non-nucleoside inhibitors. The invention is also concerned with pharmaceutical compns. and formulations of combinations of GS-7340 and emtricitabine, and their physiol. functional derivs., as well as therapeutic methods of use of those compns. and formulations.

ST emtricitabine GS7340 antiviral combination formulation HIV; Emtriva CS7340 drug resistance antiAIDS

IT Drug delivery systems
(caplets; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems
(capsules; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT AIDS (disease)
Anti-AIDS agents
Antiviral agents
Combination chemotherapy
Drug delivery systems
Human
Human immunodeficiency virus
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Nucleoside analogs
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems
(oral; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems
(prodrugs; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems
(suppositories; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems
(suspensions, oral; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

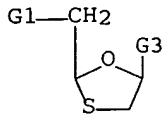
IT Drug delivery systems
(tablets, controlled-release; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems
(tablets; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)

IT Drug delivery systems

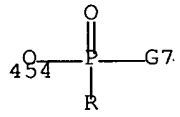
(unit doses; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
IT Infection
(viral; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
IT 9068-38-6
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(HIV, inhibitor; composition and methods using GS-7340 and emtricitabine and
their derivs. for combination antiviral therapy)
IT 14807-96-6, Talc, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(asbestos-free; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
IT 134678-17-4, 3TC 143491-54-7 143491-57-0, Emtriva 154598-52-4, Sustiva 198904-31-3, Reyataz 202138-50-9, Tenofovir disoproxil fumarate 369372-47-4, Kaletra 379270-37-8, GS-7340 379270-37-8D, GS-7340, derivs. 379270-38-9, GS 7340 fumarate 731772-56-8 731772-57-9 731772-58-0 731772-59-1 731772-60-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
IT 57-11-4D, Octadecanoic acid, metal salts 471-34-1, Calcium carbonate, biological studies 532-32-1, Sodium benzoate 546-93-0, Magnesium carbonate 557-04-0, Magnesium stearate 557-05-1, Zinc stearate 1309-48-4, Magnesium oxide, biological studies 1344-00-9, Sodium aluminosilicate 1344-95-2, Calcium silicate 1592-23-0, Calcium stearate 3097-08-3, Magnesium lauryl sulfate 7631-86-9, Silicon dioxide, biological studies 9003-39-8, Povidone 9004-32-4 9004-34-6, Cellulose, biological studies 9004-57-3, Ethyl cellulose 9004-65-3, Hydroxypropyl methylcellulose 9005-25-8, Starch 1500, biological studies 64044-51-5, Lactose monohydrate 74811-65-7, Croscarmellose sodium 78426-80-9, Stearowet C
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
IT 144114-21-6, HIV protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor; composition and methods using GS-7340 and emtricitabine and their derivs. for combination antiviral therapy)
IT 52350-85-3, HIV integrase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(of HIV, inhibitors; composition and methods using GS-7340 and emtricitabine
and their derivs. for combination antiviral therapy)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anon; PROJECT INFORM PERSPECTIVE 2003, 35, P4
(2) Barry, D; WO 0025797 A 2000 CAPLUS
(3) de Clercq, E; MEDICINAL RESEARCH REVIEWS 2002, V22(6), P531 CAPLUS
(4) Lee, W; WO 0208241 A 2002 CAPLUS
(5) Mulato, A; ANTIVIRAL RESEARCH 1997, V36(2), P91 CAPLUS
(6) Murry, J; JOURNAL OF VIROLOGY 2003, V77(2), P1120 CAPLUS
(7) Richman, D; ANTIVIRAL THERAPY 2001, V6(2), P83 CAPLUS
(8) Ristig, M; JOURNAL OF INFECTIOUS DISEASES 2002, V186(12), P1844 CAPLUS

MSTR 1

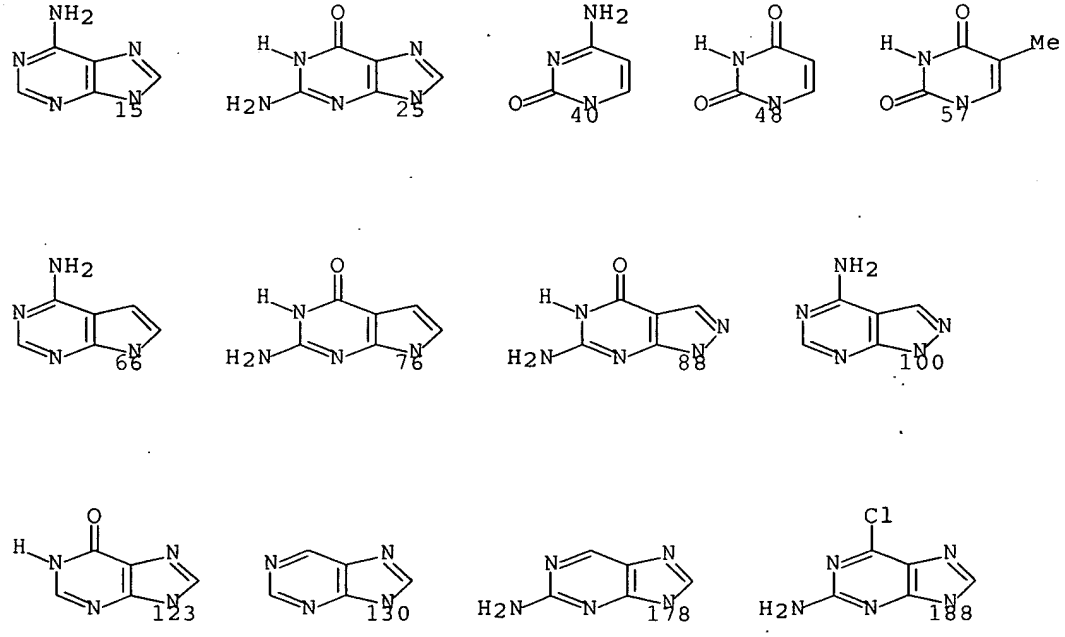


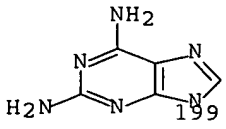
G1 = OH / 9

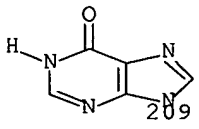
G2 = alkyl <containing 1-18 C> (opt. substd.) /
alkenyl <containing 2-18 C> (opt. substd.) /
alkynyl <containing 2-18 C> (opt. substd.) /
carbocycle <containing 3 or more C, 0 or more double bonds,
0 or more triple bonds> (opt. substd.) /
aryl <containing 6-20 C> (opt. substd.) /
heterocycle <containing 2-20 C> (opt. substd.) / 454 /
OPO3H2 / OP2O6H3 / OP3O9H4 / R

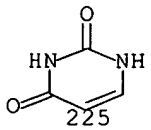


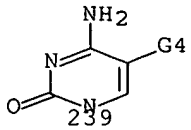
G3 = 15 / 25 / 40 / 48 / 57 / 66 / 76 / 88 / 100 / 123 /
130 / 384 / 393 / 178 / 188 / 199 / 209 / 225 / 239 / 437 /
446 / 249 / 255 / 279 / 269 / 291 / 302 / 309 / 322 / 336 /
358 / 369 / 373 / 416

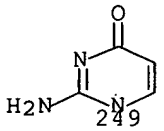


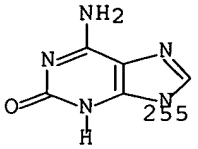


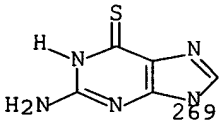


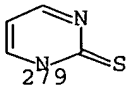


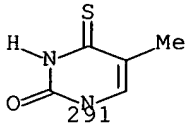


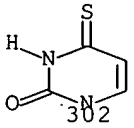


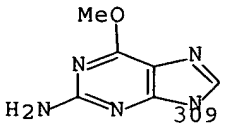


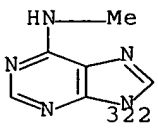


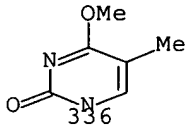


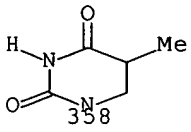


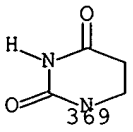


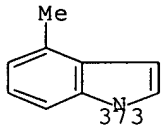


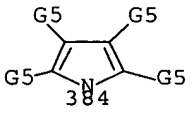


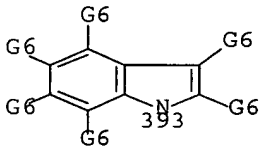


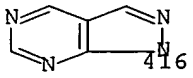


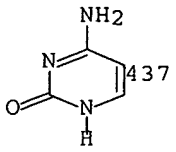


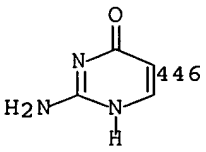




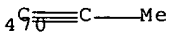




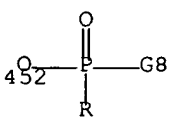




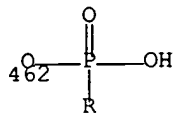
G4 = F / Cl / Br / I / 470



G5 = (1) NO2 / H
G6 = (1) NO2 / H
G7 = OH / 452

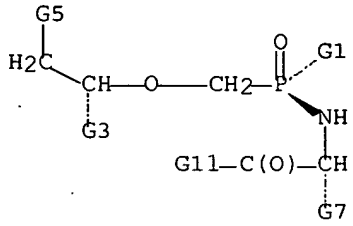


G8 = OH / 462

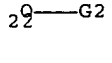


Patent location: claim 9
Note: and prodrugs

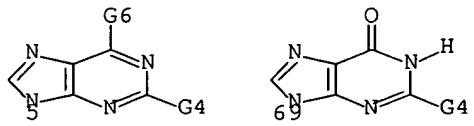
MSTR 2



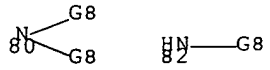
G1 = OH / **22**



G2 = carbon chain <containing 1-6 C> (opt. substd.) /
carbocycle <containing 3-6 C> (opt. substd.) /
aryl <containing 6-20 C> (opt. substd.) /
carbon chain <containing 1 or more C>
(substd. by aryl <containing 6-20 C> (opt. substd.)) /
(Specifically claimed: Ph)
G3 = H / **Me**
G4 = H / NH2
G5 = **5** / 69



G6 = **NH2** / 80 / 82



G7 = R <"amino acid side chain"> / H /

(Specifically claimed: Me / Pr-i)
G8 = carbon chain <containing 1-6 C> /
carbocycle <containing 3-6 C>
G11 = OH / 77

79——G12

G12 = carbon chain <containing 1-6 C> (opt. substd.) /
carbocycle <containing 3-6 C> (opt. substd.) /
aryl <containing 6-20 C> (opt. substd.) /
carbon chain <containing 1 or more C>
(substd. by aryl <containing 6-20 C> (opt. substd.)) /
(Specifically claimed: Me / Et / **Pr-i**)
Patent location: claim 12
Note: or pharmaceutically acceptable salts or solvates

L37 ANSWER 2 OF 3 MARPAT COPYRIGHT 2007 ACS on STN
AN 136:118705 MARPAT Full-text
TI Preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis
IN Becker, Mark W.; Chapman, Harlan H.; Cihlar, Tomas; Eisenberg, Eugene J.; He, Gong-Xin; Kernan, Michael R.; Lee, William A.; Prisbe, Ernest J.; Rohloff, John C.; Sparacino, Mark L.
PA Gilead Sciences, Inc., USA
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07H021-00
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 15, 34, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008241	A2	20020131	WO 2001-US23104	20010720
	WO 2002008241	A3	20020829		
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	CA 2416757	A1	20020131	CA 2001-2416757	20010720
	AU 200182941	A	20020205	AU 2001-82941	20010720
	US 2002119443	A1	20020829	US 2001-909560	20010720
	EP 1301519	A2	20030416	EP 2001-961695	20010720
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	CN 1443189	A	20030917	CN 2001-813161	20010720
	HU 200301307	A2	20030929	HU 2003-1307	20010720
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	EE 200300029	A	20041015	EE 2003-29	20010720

	TR 200300055	T2	20041221	TR 2003-55	20010720
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	US 2004018150	A1	20040129	US 2003-333107	20030114
	NO 2003000270	A	20030320	NO 2003-270	20030120
	BG 107572	A	20031128	BG 2003-107572	20030219
	US 2003219727	A1	20031127	US 2003-354207	20030616
	US 2006024659	A1	20060202	US 2004-785497	20040224
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	US 2005159392	A1	20050721	US 2005-31228	20050106
	AU 2005225039	A1	20051110	AU 2005-225039	20051018

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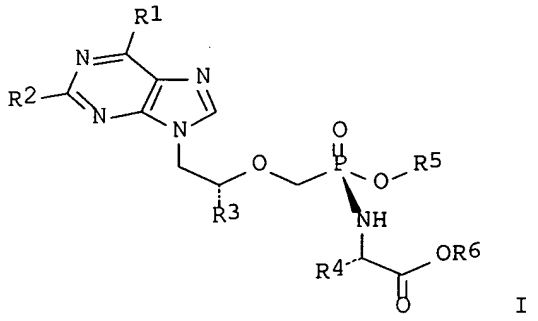
GI



I

AB A novel method is provided for screening prodrugs of methoxyphosphonate nucleotide analogs is to identify prodrugs selectively targeting desired tissues with antiviral or antitumor activity. This method has led to the identification of novel mixed ester-amidates of PMPA for retroviral or hepadnaviral therapy, including compds. I, wherein R1 is amino, alkylamino, oxo, dialkylamino; R2 is amino, H; R3 is H, Me; R4 is Me, amino acid residue; R5, R6 are independently H, alkyl, alkenyl, alkynyl, aryl or arylalkyl which is substituted with from 1 to 3 substituents selected from alkylamino, alkylaminoalkyl, dialkylaminoalkyl, dialkylamino, hydroxy, oxo, halo, amino, alkylthio, alkoxy, and their use in therapy and prophylaxis. Also provided is an improved method for the use of magnesium alkoxide for the preparation of starting materials and compds. for use herein. Thus, fumarate salt of I (R1 =

NH2, R2 = H, R3 = R4 = Me, R5 = Ph, R6 = iPr) was prepared and tested in vitro and in dogs as antiviral agent.

ST biodistribution prodrug amino acid methoxyphosphonate nucleotide prepn; therapy prophylaxis prodrug metabolite amino acid methoxyphosphonate nucleotide prepn; amino acid methoxyphosphonate acyclic nucleotide analog prepn antiviral antitumor

IT Antitumor agents
Antiviral agents
Therapy
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

IT Amino acids, preparation
Nucleotides, preparation
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

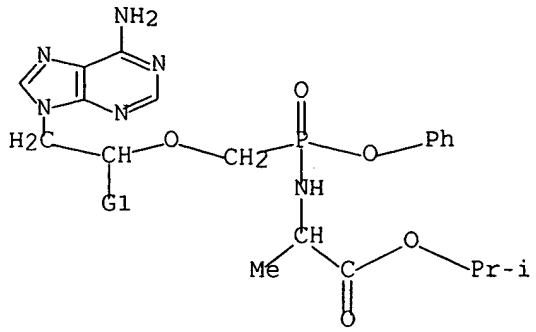
IT Drug delivery systems
(prodrugs; preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

IT 147127-20-6P 201341-05-1P 342631-31-6P 379270-38-9P 382140-25-2P
383365-04-6P 390409-19-5P 390409-27-5P 390409-29-7P 390409-32-2P
390409-34-4P 390409-35-5P 390409-37-7P 390409-39-9P 390409-41-3P
390409-44-6P 390409-46-8P 390409-48-0P 390409-50-4P 390409-51-5P
390409-52-6P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

IT 707-99-3P 14047-28-0P 106941-25-7P 379270-35-6P 379270-36-7P
379270-37-8P 390409-17-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

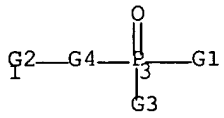
IT 73-24-5, Adenine, reactions 96-49-1, Ethylene carbonate 110-17-8, Fumaric acid, reactions 126-33-0, Tetramethylene sulfone 538-75-0, 1,3-Dicyclohexylcarbodiimide 872-50-4, 1-Methyl-2-pyrrolidinone, reactions 1115-59-9 1529-17-5, Phenoxytrimethylsilane 2127-03-9, 2-Aldrithiol 15571-48-9, Magnesium isopropoxide 16606-55-6 31618-90-3, Diethyl p-toluenesulfonyloxymethylphosphonate 32149-57-8 39825-33-7, (L)-Alanine isopropyl ester 40916-98-1 55904-02-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of prodrugs amino acid methoxyphosphonate acyclic nucleotide analogs as antiviral or antitumor agents and their use in therapy and prophylaxis)

MSTR 1

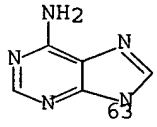


G1 = H / **Me**
Patent location: claim 19

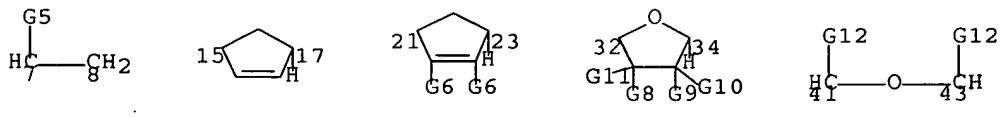
MSTR 2

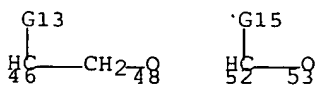


G1 = R <"oxyester which is hydrolyzable in vivo"> / OH
G2 = R <"heterocyclic base"> / (Example: 63)



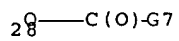
G3 = OH / R <"optionally esterified amino acid residue">
G4 = 7-1 8-3 / 15-1 17-3 / 21-1 23-3 / 32-1 34-3 / 41-1 43-3 / 46-1 48-3 / 52-1 53-3



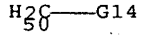


G5 = H / Me / 10 / CH2OH / CH=CH2 / ethynyl / 12

G6 = H / OH / halo / NH2 / 28 /
alkoxy <containing 1-5 C> / alkylthio <containing 1-5 C> /
alkylamino <containing 1-5 C> /
dialkylamino <each alkyl containing 1-5 C>

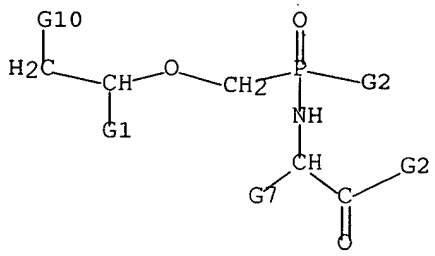


G7 = carbon chain <containing 1-5 C> / R / H
G8 = H / alkyl <containing 1-6 C>
G9 = H / alkyl <containing 1-6 C>
G10 = H
G11 = H
G12 = H / alkyl <containing 1-6 C>
(opt. substd. by 1 or more OH) /
alkylcarbonyl <containing 1-6 C>
G13 = H / CH2OH / 50

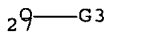


G14 = acyloxy
G15 = H / alkyl <containing 1-6 C> (opt. substd. by OH) /
alkyl <containing 1-6 C> (substd. by 1 or more halo)
G8 +G9 = O / CH2
G10+G11= bond
Patent location: claim 21

MSTR 3



G1 = H / Me
G2 = OH / 27

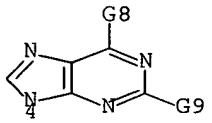


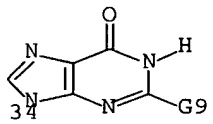
G3 = alkyl (opt. substd. by (1-3) G5) /
alkenyl (opt. substd. by (1-3) G5) /
alkynyl (opt. substd. by (1-3) G5) /

aryl (opt. substd. by (1-3) G5) /
alkyl (substd. by 1 or more G4) / 43

$_{43}^{G11}$=O

G4 = aryl (opt. substd. by (1-3) G5) / R
G5 = alkylamino / alkyl (substd. by alkylamino) /
alkyl (substd. by dialkylamino) / dialkylamino / OH / halo /
NH2 / alkylthio / alkoxy / alkyl (substd. by alkoxy) /
aryloxy / alkyl (substd. by 1 or more aryloxy) /
alkoxy (substd. by 1 or more aryl) /
alkyl (substd. by 1 or more G6) /
alkyl (substd. by 1 or more halo) / NO2 /
alkyl (substd. by NO2) / N3 / alkyl (substd. by N3) /
alkylcarbonyl / alkyl (substd. by alkylcarbonyl) / CO2H /
alkylcarbonylamino
G6 = alkoxy (substd. by 1 or more aryl)
G7 = R <"optionally esterified amino acid side chain">
G8 = NH2 / alkylamino / dialkylamino
G9 = NH2 / H
G10 = 4 / 34





G11 = carbon chain <0 or more double bonds,
0 or more triple bonds> (opt. substd. by 1 or more G4) /
carbocycle <aromatic, 6 or more normalized bonds>
(opt. substd.)
Patent location: claim 22
Note: and salts, free bases and solvates
Stereochemistry: and tautomers

L37 ANSWER 3 OF 3 MARPAT COPYRIGHT 2007 ACS on STN
AN 126:19177 MARPAT Full-text
TI Preparation of amino acid-containing nucleotide analogs as virucides
IN Mcguigan, Christopher; Balzarini, Jan
PA Medical Research Council, UK; University College Cardiff Consultants Ltd.; Rega Foundation
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07H019-10
ICS C07H019-20; A61K031-70; C07F009-6512; C07F009-6524; A61K031-675
CC 33-9 (Carbohydrates)
Section cross-reference(s): 1, 34
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9629336	A1	19960926	WO 1996-GB580	19960313

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,

ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

CA 2215190	A1	19960926	CA 1996-2215190	19960313	
AU 9650094	A	19961008	AU 1996-50094	19960313	
AU 707196	B2	19990708			
EP 820461	A1	19980128	EP 1996-906832	19960313	
EP 820461	B1	20050518			
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JP 11506419	T	19990608	JP 1996-528160	19960313	
AT 295849	T	20050615	AT 1996-906832	19960313	
PT 820461	T	20050930	PT 1996-906832	19960313	
ES 2242965	T3	20051116	ES 1996-906832	19960313	
US 6455513	B1	20020924	US 1999-382084	19990824	
US 2003120071	A1	20030626	US 2002-216940	20020812	
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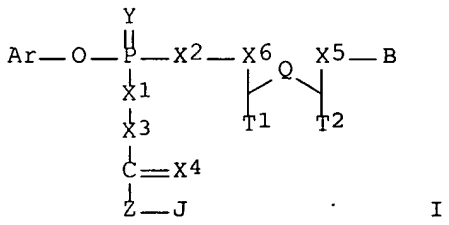

I

AB Title amino acid-containing nucleotides I (Ar = aryl; Y = O, S; X1,X2 = O, S, aminoalkyl, alkyl; X3 = alkyl; X4 = CH2, O; X5,X6 = bond, CH2; Z = O, aminoalkyl, S, alkyl, aryl; J = H, alkyl, aryl, heterocyclic, polycyclic) were prepared as virucides. Thus, 2',3'-dideoxy-2',3'-didehydrothymidine 5'-(phenyl-N-methoxyalaninyl) phosphoramidate was prepared and tested for its antiviral activity.

ST amino acid nucleotide prepn virucide

IT Nucleotides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(amino acid-containing; preparation of amino acid-containing nucleotide analogs as virucides)

IT Amino acids, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nucleotide-containing; preparation of amino acid-containing nucleotide analogs as
virucides)

IT Antiviral agents
(preparation of amino acid-containing nucleotide analogs as virucides)

IT 142629-81-0P 173070-84-3P 173070-85-4P 173070-86-5P 173070-87-6P
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184031-25-2P 184031-26-3P 184031-27-4P 184031-28-5P 184031-29-6P
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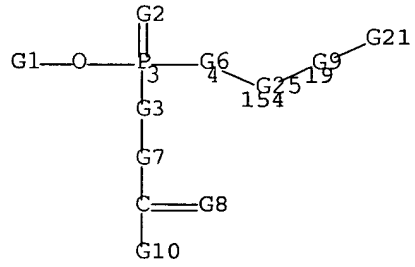
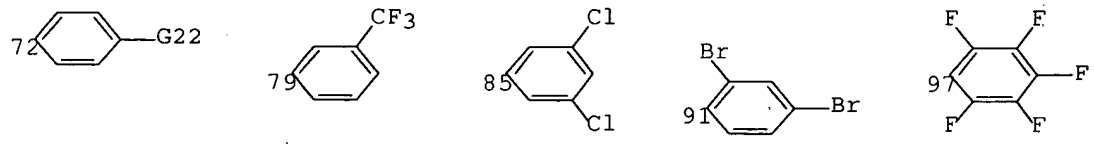
184031-71-8P 184031-72-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid-containing nucleotide analogs as virucides)

IT 770-12-7, Phenyl phosphorodichloridate 2491-20-5, L-Alanine methyl ester hydrochloride 142629-80-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid-containing nucleotide analogs as virucides)

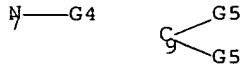
MSTR 1A



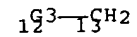
G1 = aryl (opt. substd.) / heteroaryl (opt. substd.) /
(Specifically claimed: 72 / 79 / 85 / 91 / 97)



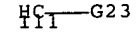
G2 = O / S
G3 = O / NH / 7 / 9



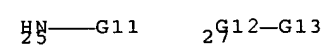
G4 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G5 = H / R
G6 = bond / 12-3 13-154



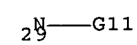
G7 = alkylene <containing 1-6 C> / (Specifically claimed: 111)



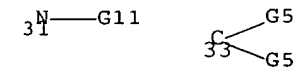
G8 = O / CH2
G9 = bond / CH2
G10 = OH / SH / NH2 / carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / 25 / 27



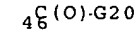
G11 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G12 = O / S / NH / 29 / carbocycle (opt. substd.) / arylene (opt. substd.) / heterocycle (opt. substd.)



G13 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / (Specifically claimed: Me / CH2Ph / hexyl / Bu-t / cyclohexyl / pentyl / CH2CMe3 / naphthyl)
G14 = O / S / NH / 31 / 33



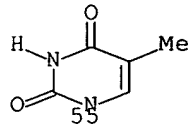
G18 = H / F / Cl / Br / I / CN / NH2 / 46

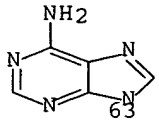


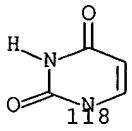
G19 = H / N3 / F / Cl / Br / I / CN / NH2 / 48 / carbon chain (opt. substd.) / carbocycle (opt. substd.)

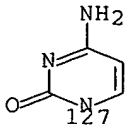
$_{48}$C(O)-G20

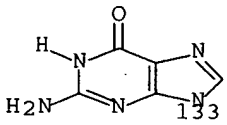
G20 = carbon chain (opt. substd.) /
carbocycle (opt. substd.)
G21 = R <"purine or pyrimidine base"> /
(Specifically claimed: 55 / 63) / (Examples: 118 / 127 / 133)



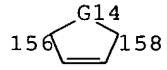


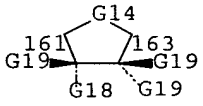






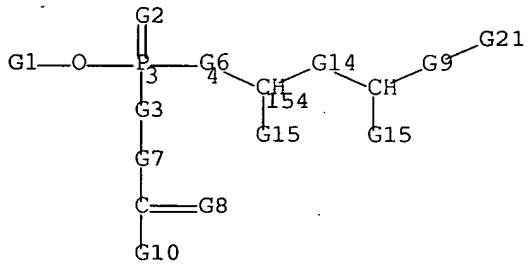
G22 = Et / F / H
G23 = Me / CH2Ph / Bu-i / Pr-i / CH2CH2SMe / CH2CO2H /
CH2CH2CH2NHC(NH)NH2
G25 = 156-4 158-19 / 161-4 163-19



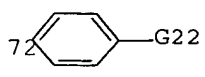


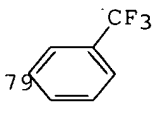
Derivative: or pharmaceutically acceptable derivatives or metabolites
Patent location: claim 1

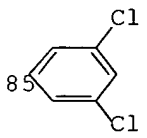
MSTR 1B

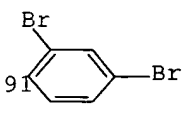


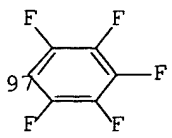
G1 = aryl (opt. substd.) / heteroaryl (opt. substd.) /
(Specifically claimed: 72 / 79 / 85 / 91 / 97)



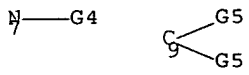




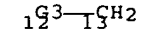




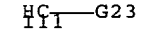
G2 = O / S
G3 = O / **NH** / 7 / 9



G4 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G5 = H / R
G6 = **bond** / 12-3 13-154

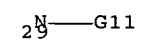


G7 = alkylene <containing 1-6 C> / **(Specifically claimed: 111)**



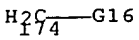
G8 = O / CH2
G9 = bond / **CH2**
G10 = OH / SH / NH2 / carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / 25 / **27**

G11 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G12 = O / S / NH / 29 / carbocycle (opt. substd.) / arylene (opt. substd.) / heterocycle (opt. substd.)

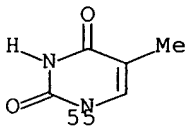
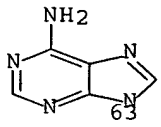
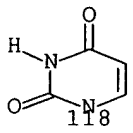
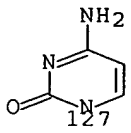
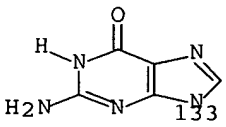


G13 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / (Specifically claimed: Me / CH2Ph / hexyl / Bu-t / cyclohexyl / pentyl / CH2CMe3 / naphthyl)
G14 = O / S / NH / 31

G15 = H / **174**

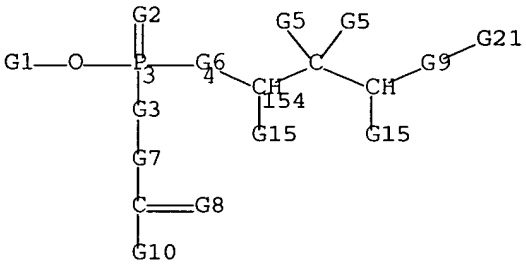


G16 = H / OH / F
G21 = R <"purine or pyrimidine base"> /
(Specifically claimed: 55 / 63) / (Examples: 118 / 127 / 133)

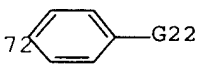
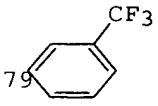
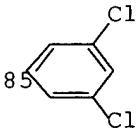
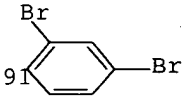
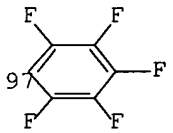






G22 = Et / F / H
G23 = **Me** / CH2Ph / Bu-i / Pr-i / CH2CH2SMe / CH2CO2H / CH2CH2CH2NHC(NH)NH2
Derivative: or pharmaceutically acceptable derivatives or metabolites
Patent location: claim 1

MSTR 1C

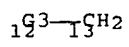


G1 = aryl (opt. substd.) / heteroaryl (opt. substd.) /
(Specifically claimed: 72 / 79 / 85 / 91 / 97)

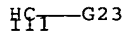






G2 = O / S
G3 = O / NH / 7 / 9


G4 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G5 = H / R
G6 = bond / 12-3 13-154

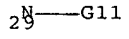


G7 = alkylene <containing 1-6 C> / (Specifically claimed: 111)

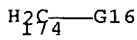


G8 = O / CH2
G9 = bond / CH2
G10 = OH / SH / NH2 / carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / 25 / 27

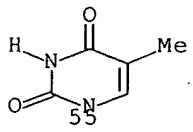
G11 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G12 = O / S / NH / 29 / carbocycle (opt. substd.) / arylene (opt. substd.) / heterocycle (opt. substd.)

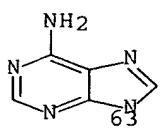


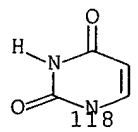
G13 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / (Specifically claimed: Me / CH2Ph / hexyl / Bu-t / cyclohexyl / pentyl / CH2CMe3 / naphthyl)
G15 = H / 174

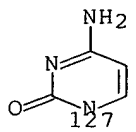


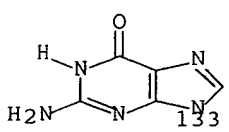
G16 = H / OH / F
G21 = R <"purine or pyrimidine base"> / (Specifically claimed: 55 / 63) / (Examples: 118 / 127 / 133)





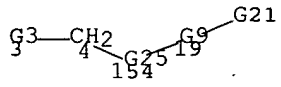




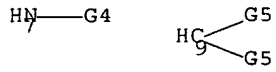


G22 = Et / F / H
G23 = Me / CH2Ph / Bu-i / Pr-i / CH2CH2SMe / CH2CO2H / CH2CH2CH2NHC(NH)NH2
Derivative: or pharmaceutically acceptable derivatives or metabolites
Patent location: claim 1

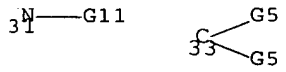
MSTR 2A



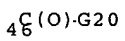
G3 = OH / NH2 / 7 / 9



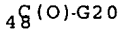
G4 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G5 = H / R
G9 = bond / CH2
G11 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heteroaryl (opt. substd.)
G14 = O / S / NH / 31 / 33



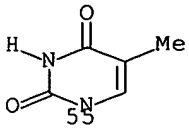
G18 = H / F / Cl / Br / I / CN / NH2 / 46

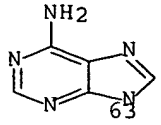


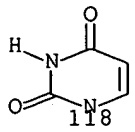
G19 = H / N3 / F / Cl / Br / I / CN / NH2 / 48 / carbon chain (opt. substd.) / carbocycle (opt. substd.)

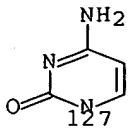


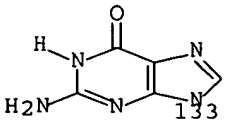
G20 = carbon chain (opt. substd.) / carbocycle (opt. substd.)
G21 = R <"purine or pyrimidine base"> / (Specifically claimed: 55 / 63) / (Examples: 118 / 127 / 133)



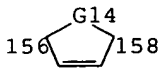


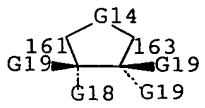






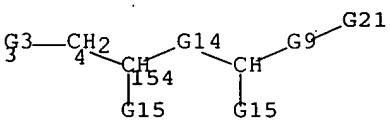
G25 = 156-4 158-19 / 161-4 163-19





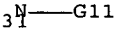
Patent location: claim 15

MSTR 2B

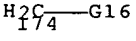


G3 = OH / NH2 / 7 / 9

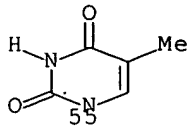
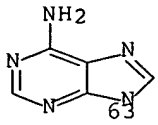
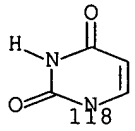
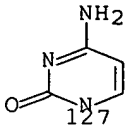
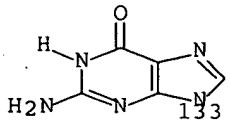
G4 = carbon chain (opt. substd.) /
carbocycle (opt. substd.) / aryl (opt. substd.) /
heteroaryl (opt. substd.)
G5 = H / R
G9 = bond / CH2
G11 = carbon chain (opt. substd.) /
carbocycle (opt. substd.) / aryl (opt. substd.) /
heteroaryl (opt. substd.)
G14 = O / S / NH / 31



G15 = H / 174

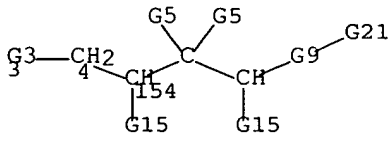


G16 = H / OH / F
G21 = R <"purine or pyrimidine base"> /
(Specifically claimed: 55 / 63) / (Examples: 118 / 127 / 133)

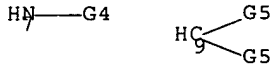






Patent location: claim 15

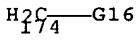
MSTR 2C



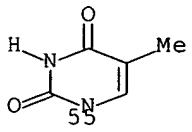
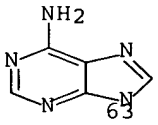
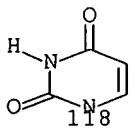
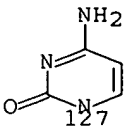
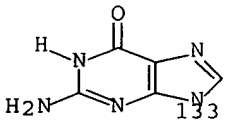
G3 = OH / NH2 / 7 / 9



G4 = carbon chain (opt. substd.) /
carbocycle (opt. substd.) / aryl (opt. substd.) /
heteroaryl (opt. substd.)
G5 = H / R
G9 = bond / CH2
G15 = H / 174

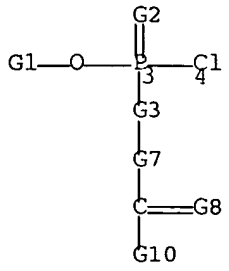


G16 = H / OH / F
G21 = R <"purine or pyrimidine base"> /
(Specifically claimed: 55 / 63) / (Examples: 118 / 127 / 133)

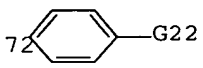






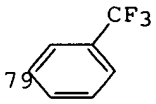
Patent location: claim 15

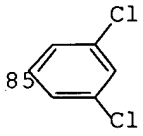
MSTR 3

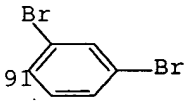


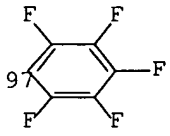
G1 = aryl (opt. substd.) / heteroaryl (opt. substd.) /
(Specifically claimed: 72 / 79 / 85 / 91 / 97)





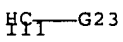






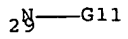
G2 = O / S
G3 = O / NH / 7 / 9

G4 = carbon chain (opt. substd.) /
carbocycle (opt. substd.) / aryl (opt. substd.) /
heteroaryl (opt. substd.)
G5 = H / R
G7 = alkylene <containing 1-6 C> /
(Specifically claimed: 111)



G8 = O / CH2
G10 = OH / SH / NH2 / carbon chain (opt. substd.) /
carbocycle (opt. substd.) / aryl (opt. substd.) /
heterocycle (opt. substd.) / 25 / 27

G11 = carbon chain (opt. substd.) /
carbocycle (opt. substd.) / aryl (opt. substd.) /
heteroaryl (opt. substd.)
G12 = O / S / NH / 29 / carbocycle (opt. substd.) /
arylene (opt. substd.) / heterocycle (opt. substd.)



G13 = carbon chain (opt. substd.) / carbocycle (opt. substd.) / aryl (opt. substd.) / heterocycle (opt. substd.) / (Specifically claimed: Me / CH2Ph / hexyl / Bu-t / cyclohexyl / pentyl / CH2CMe3 / naphthyl)

G22 = Et / F / H

G23 = Me / CH2Ph / Bu-i / Pr-i / CH2CH2SMe / CH2CO2H / CH2CH2CH2NHC(NH)NH2

Patent location: claim 15

SEARCH HISTORY

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                E CHAPMAN HARLAN H/AU
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                "CHAPMAN HARLAN HANFORD"/AU)
                E CIHLAR TOMAS/AU
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                E EISENBERG EUGENE J/AU
L4           50 SEA ABB=ON  ("EISENBERG EUGENE"/AU OR "EISENBERG EUGENE J"/AU)
                E HE GONG XIN/AU
L5           46 SEA ABB=ON  "HE GONG XIN"/AU
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                E LEE WILLIAM A/AU
L7          151 SEA ABB=ON  ("LEE WILLIAM"/AU OR "LEE WILLIAM A"/AU OR "LEE
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L9           28 SEA ABB=ON  ("ROHLOFF JOHN C"/AU OR "ROHLOFF JOHN CHRISTIAN"/AU
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                126-33-0/BI OR 14047-28-0/BI OR 147127-20-6/BI OR 1529-17-5/BI
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                S 379270-36-7/CRN OR 390409-17-3/RN

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L14           1 SEA ABB=ON  379270-36-7/CRN
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L16           1 SEA ABB=ON  L15 OR 390409-17-3/RN

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L18           1 SEA ABB=ON  379270-36-7/CRN OR 390409-17-3/RN
L19           1 SEA ABB=ON  L18 AND L17

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L21           9 SEA ABB=ON  L19

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     FILE 'REGISTRY' ENTERED AT 14:08:20 ON 15 MAR 2007
L25             STRUCTURE 379270-36-7
L26           1 SEA SSS SAM L25
L27          11 SEA SSS FUL L25
L28           3 SEA ABB=ON  L27 AND 110-17-8/CRN

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L32           0 SEA ABB=ON  L31 AND (PRD<20000721 OR PD<20000721)
L33           9 SEA L22
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L37           3 SEA SSS FUL L25

     FILE 'MARPAT, USPATFULL' ENTERED AT 14:31:55 ON 15 MAR 2007
L38          16 DUP REMOV L37 L36 (0 DUPLICATES REMOVED)

     FILE HOME

     FILE HCAPLUS

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FILE LAST UPDATED: 14 Mar 2007 (20070314/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 MAR 2007 HIGHEST RN 926494-79-3
DICTIONARY FILE UPDATES: 14 MAR 2007 HIGHEST RN 926494-79-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE USPATFULL
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 15 Mar 2007 (20070315/PD)
FILE LAST UPDATED: 15 Mar 2007 (20070315/ED)
HIGHEST GRANTED PATENT NUMBER: US7191469
HIGHEST APPLICATION PUBLICATION NUMBER: US2007061936
CA INDEXING IS CURRENT THROUGH 15 Mar 2007 (20070315/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 15 Mar 2007 (20070315/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2006
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2006

FILE BEILSTEIN
FILE LAST UPDATED ON JANUARY 10, 2007

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,780,003 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                 *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE    *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE           *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                         *
* FOR PRICE INFORMATION SEE HELP COST                                 *
**********************************************************************
    NEW
* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
  SEARCHED, SELECTED AND TRANSFERRED.
* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
  ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
  COMPOUND AT A GLANCE.

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 146 ISS 11 (20070309/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US   2007020715 25 JAN 2007
DE 102005032918 18 JAN 2007
EP      1743897 17 JAN 2007
JP   2007016265 25 JAN 2007
WO   2007012422 01 FEB 2007
GB      2427406 27 DEC 2006
FR      2888248 12 JAN 2007
RU      2291880 20 JAN 2007
CA      2551930 08 JAN 2007

Expanded G-group definition display now available.
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